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=> b reg
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http://www.cas.org/support/stngen/stndoc/properties.html

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L4              STR
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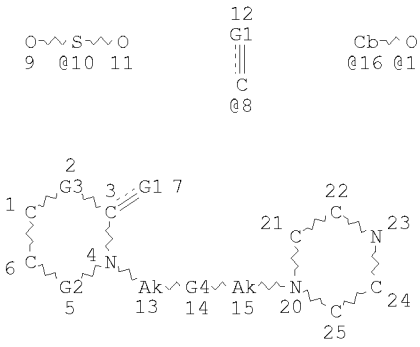


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NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
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L13          97 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L8 OR L12)


=> b hcap
FILE 'HCAPLUS' ENTERED AT 13:00:49 ON 28 FEB 2008
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FILE COVERS 1907 - 28 Feb 2008 VOL 148 ISS 9
FILE LAST UPDATED: 27 Feb 2008 (20080227/ED)

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

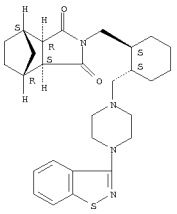
=> d bib abs hitstr l16 tot

L16 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1154706 HCAPLUS
DN 142:69202
TI Therapeutic agent for senile dementia
IN Ohno, Yukihiro; Ishiyama, Takeo
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2004113333	A1	20041229	2004WO-JP0009095	20040622
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU--2004249621	A1	20041229	2004AU-000249621	20040622
	CA-----2531980	A1	20041229	2004CA-002531980	20040622
	EP-----1637530	A1	20060322	2004EP-000746564	20040622
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN-----1826338	A	20060830	2004CN-080017534	20040622
	US--2006142276	A1	20060629	2005US-000562039	20051222
	IN-2005CN03485	A	20070608	2005IN-CN00003485	20051222
PRAI	2003JP-000178386	A	20030623		
	2004WO-JP0009095	W	20040622		

OS MARPAT 142:69202
AB A therapeutic/preventive agent for cognition dysfunctions contains as an active ingredient an imide derivative (Markush structure given). The bioactivity of the imide derivative of this invention was demonstrated.
IT 139627-39-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(imide derivative as therapeutic agent for senile dementia)
RN 139627-39-7 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



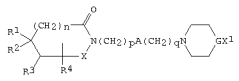
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD

L16 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:151794 HCAPLUS
DN 116:151794
TI Preparation of [[[(carboximidomethyl)cycloalkyl]methyl]azinyl]arenes as antipsychotics
IN Saji, Ikutaro; Muto, Masayuki; Tanno, Norihiko; Yoshigi, Mayumi
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO Eur. Pat. Appl., 67 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP------464846	A1	19920108	1991EP-000111223	19910705
	EP------464846	B1	19980422		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP----05017440	A	19930126	1991JP-000183640	19910627
	JP-----2800953	B2	19980921		
	CA-----2046429	A1	19920107	1991CA-002046429	19910705
	CA-----2046429	C	20030916		
	AT------165359	T	19980515	1991AT-000111223	19910705
	ES-----2115599	T3	19980701	1991ES-000111223	19910705
	US-----5532372	A	19960702	1993US-000113320	19930830
	US-----5780632	A	19980714	1996US-000634738	19960418
PRAI	1990JP-000180271	A	19900706		
	1991US-000726172	B1	19910705		
	1993US-000113320	A3	19930830		

OS CASREACT 116:151794; MARPAT 116:151794
GI



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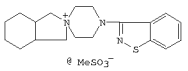

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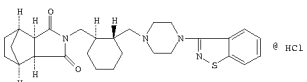
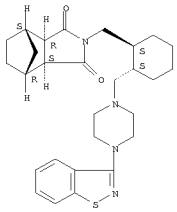


III

AB Title compds. [I; R1-R4 = H, alkyl; R1R2 = nonarom. hydrocarbylene; R1R3 = (aromatic) (substituted) (bridged) hydrocarbylene; X = CO, SO2; n = 0, 1; A = (substituted) (bridged) nonarom. hydrocarbon ring; p, q = 0-2; X1 = (hetero)aryl, PhCO, PhO, PhS, and G = N, CH, COH; or X1 = biphenylmethylidene, G = C] were prepared Thus, spiro derivative II (preparation from trans-1,2-cyclohexanecarboxylic anhydride given) was refluxed with bicyclo[2.2.1]heptane-2-exo-3-exo-dicarboximide, K2CO3, and dibenzo-18-crown-6 in PhMe to give title compound III. III showed ED50 of 10.3 mg/kg orally for suppression of apomorphine-induced climbing behavior in mice.
IT 139627-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)
RN 139627-39-7 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-,

L16 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(3aR,4S,7R,7aS)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



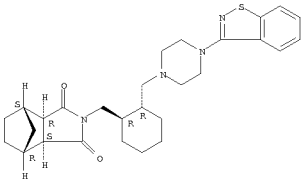
=> d bib abs hitstr l19 tot

L19 ANSWER 1 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1363699 HCAPLUS
DN 148:24465
TI Melatonin agonist and antipsychotic agent combinations for treatment of insomnia
IN Polymeropoulos, Mihael H.; Wolfgang, Curt D.; Birznieks, Gunther; Phadke, Deepak
PA Vanda Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 20pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2007137224	A2	20071129	2007WO-US0069366	20070521
WO--2007137224	A3	20080124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRAI 2006US-00747866P	P	20060522		

AB Disclosed are combinations and combination therapies for the treatment of insomnia in patients with psychotic disorders or with psychotic features, patients with bipolar depression, and patients with major depression with psychotic features.
IT 367514-88-3, SM-13496 367514-88-3D, SM-13496, metabolites
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(melatonin agonist and antipsychotic agent combinations for treatment of insomnia)
RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

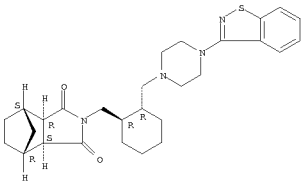


● HCl

RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-

L19 ANSWER 1 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



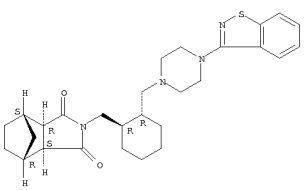
● HCl

L19 ANSWER 2 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1277443 HCAPLUS
DN 147:515074
TI Escitalopram for improving diminished cognition processes
IN Svensson, Hans Torgny
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 24pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2007124757	A2	20071108	2007WO-DK050050	20070430
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI 2006DK-000000621	A	20060502		

AB The invention relates to the use of the compound escitalopram (INN-name), i.e. (S)-1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, or a pharmaceutically acceptable salt thereof for the preparation of a medicament for improving cognition in a condition where the cognitive processes are diminished.
IT 367514-87-2, Lurasidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(escitalopram for improving diminished cognitive processes)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

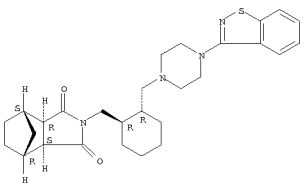


L19 ANSWER 3 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1270852 HCAPLUS
DN 147:496359
TI Use of escitalopram for improvement of cognition in a condition where the cognitive processes are diminished
IN Svensson, Hans Torgny
PA H. Lundbeck A/S, Den.
SO U.S. Pat. Appl. Publ., 11pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US--2007259952	A1	20071108	2007US-000741371	20070427
PRAI 2006US-00746238P	P	20060502		

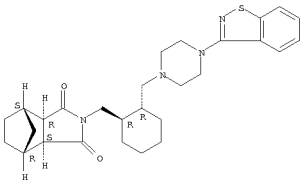
AB The invention discloses the use of the compound escitalopram (INN-name), i.e. (S)-1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, or a pharmaceutically acceptable salt thereof for the preparation of a medicament for improving cognition in a condition where the cognitive processes are diminished.
IT 367514-87-2, Lurasidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(escitalopram for improvement of cognition in condition with diminished cognitive processes)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



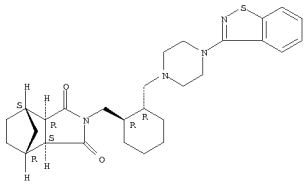
L19 ANSWER 4 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1076353 HCAPLUS
DN 147:440137
TI Lurasidone (SM-13496), a novel atypical antipsychotic drug, reverses MK-801-induced impairment of learning and memory in the rat passive-avoidance test
AU Ishiyama, Takeo; Tokuda, Kumiko; Ishibashi, Tadashi; Ito, Akira; Toma, Satoko; Ohno, Yukihiro
CS Pharmacology Research Laboratories, Dainippon Sumitomo Pharma Co. Ltd., Suita, Osaka, 564-0053, Japan
SO European Journal of Pharmacology (2007), 572(2-3), 160-170
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier B.V.
DT Journal
LA English
AB Lurasidone (SM-13496) is a novel atypical antipsychotic with high affinities to dopamine D2, serotonin 5-HT7, 5-HT2A, 5-HT1A receptors and a2C adrenoceptor. In this study, the effects of lurasidone on the rat passive-avoidance response and its impairment by the N-methyl--aspartate (NMDA) receptor antagonist MK-801 (dizocilpine) were evaluated and compared with those of other antipsychotics. The passive-avoidance response was examined by measuring the step-through latency, 1 day after the animals received foot-shock training. When given before the training session, lurasidone did not affect the passive-avoidance response at any dose tested (1-30 mg/kg, p.o.). All the other atypical antipsychotics examined (i.e., risperidone, olanzapine, quetiapine, clozapine and aripiprazole), however, significantly reduced the step-through latency at relatively high doses. A pre-training administration of lurasidone significantly and dose-dependently reversed the MK-801-induced impairment of the passive-avoidance response. At doses lower than those that affected the passive-avoidance response, risperidone, quetiapine, and clozapine partially reduced the MK-801-induced impairment, whereas haloperidol, olanzapine, and aripiprazole were inactive. In addition, the post-training administration of lurasidone was as effective in countering the MK-801 effect as the pre-training administration, suggesting that lurasidone worked, at least in part, by restoring the memory consolidation process disrupted by MK-801. These results suggest that lurasidone is superior to other antipsychotics in improving the MK-801-induced memory impairment and may be clin. useful for treating cognitive impairments in schizophrenia.
IT 367514-87-2, Lurasidone
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SM-13496; lurasidone (SM-13496), a novel atypical antipsychotic drug, reverses MK-801-induced impairment of learning and memory in rat passive-avoidance test)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 4 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 367514-88-3, SM-13496
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lurasidone (SM-13496), a novel atypical antipsychotic drug, reverses MK-801-induced impairment of learning and memory in rat passive-avoidance test)
RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

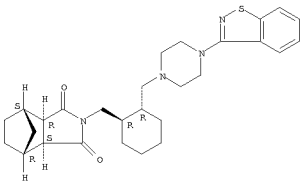
RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1337840 HCAPLUS
DN 146:68724
TI Pharmaceutical solutions containing lurasidone
IN Otoda, Kazuya; Nakamura, Mayumi; Ariyama, Teruko; Nakagawa, Takashi
PA Dainippon Sumitomo Pharma Co., Ltd., Japan
SO PCT Int. Appl., 21pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-2006134864	A1	20061221	2006WO-JP311739	20060612 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP-----1891956	A1	20080227	2006EP-000766601	20060612 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI 2005JP-000172725	A	20050613 <--		
2006WO-JP311739	W	20060612		

AB A solution-type preparation comprises lurasidone or its acid addition salts, preferably hydrochloride salt, as an active ingredient and at least one substance selected from benzyl alc., N,N-dimethylacetamide, lactic acid and propylene glycol. The solns. comprise high concentration of lurasidone for the treatment of mental disorders.
IT 367514-87-2, Lurasidone 367514-88-3, Lurasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical solns. containing lurasidone)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

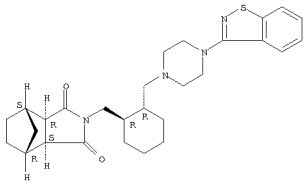
Absolute stereochemistry.



RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 5 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

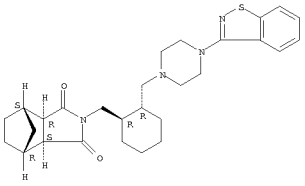
L19 ANSWER 6 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1252571 HCAPLUS
DN 146:13212
TI Oral pharmaceutical compositions of lurasidone
IN Fujihara, Kazuyuki
PA Dainippon Sumitomo Pharma Co., Ltd., Japan
SO PCT Int. Appl., 42pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2006126681	A1	20061130	2006WO-JP0310571	20060526 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU--2006250340	A1	20061130	2006AU-0002503340	20060526 <--
	CA-----2606510	A1	20061130	2006CA-002606510	20060526 <--
	EP-----1884242	A1	20080206	2006EP-000746900	20060526 <--
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	KR--2008012306	A	20080211	2007KR-0007727270	20071123 <--
	IN-2007CN05369	A	20080125	2007IN-CN0005369	20071126 <--
PRAI	2005JP-000153508	A	20050526	<--	
	2006WO-JP0310571	W	20060526		

AB A preparation for oral administration comprises a pregelatinized starch comprising N-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-(2R,3R)-2,3-tetramethylene-butyl]-(1'R,2'S,3'R,4'S)-2,3-bicyclo[2,2,1]heptanedicarboxy imide hydrochloride (lurasidone hydrochloride) as an active ingredient; a water-soluble excipient; and a water-soluble polymeric binder, where the preparation exhibits an invariant level of elution behavior even when the content of its active ingredient is varied. For example, tablets were formulated containing lurasidone 80, mannitol 144, pregelatinized starch 80, croscarmellose sodium 4, hydroxypropyl Me cellulose 8, and Mg stearate 4 mg per tablet and film coated with a composition containing hydroxypropyl Me cellulose, titania, polyethylene glycol, and carnauba wax.
IT 367514-87-2, Lurasidone 367514-88-3, Lurasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral compns. of lurasidone with improved dissoln. profile)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

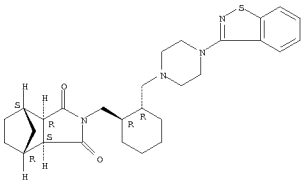
Absolute stereochemistry.

L19 ANSWER 6 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

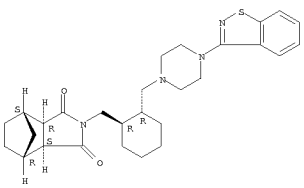
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:950847 HCAPLUS
DN 145:342440
TI Pharmaceutical compositions for the treatment and/or prevention of schizophrenia and related diseases
IN Pyke, Robert; Ceci, Angelo
PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co KG
SO PCT Int. Appl., 30pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2006096439	A2	20060914	2006WO-US0007379	20060227 <--
	WO--2006096439	A3	20070208		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA-----2599699	A1	20060914	2006CA-002599699	20060228 <--
	US--2006204486	A1	20060914	2006US-000364306	20060228 <--
	EP-----1858517	A2	20071128	2006EP-000736660	20060228 <--
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI	2005US-0065856 6P	P	20050304	<--	
	2006WO-US0007379	W	20060227		

AB The invention relates to new pharmaceutical compns. for the treatment and/or prevention of schizophrenia and methods for the preparation thereof. In a preferred embodiment, the instant invention is directed to pharmaceutical combinations comprising flibanserin as one active ingredient in combination with at least one addnl. active ingredient for the treatment and/or prevention of schizophrenia and methods for the preparation thereof.
IT 367514-87-2, Lurasidone 367514-88-3, SM 13496
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(flibanserin compns. for the treatment and/or prevention of schizophrenia and related diseases)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

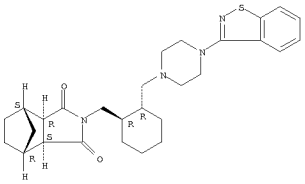
Absolute stereochemistry.



RN 367514-88-3 HCAPLUS

L19 ANSWER 7 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

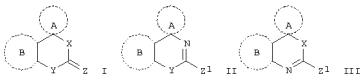


● HCl

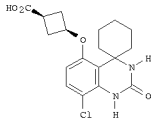
L19 ANSWER 8 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:918625 HCAPLUS
DN 145:315008
TI Preparation of spiro[cyclohexane-1,4'-quinazoline] derivatives for use as PDE7 inhibitors for the treatment of neuropathic pain
IN Cox, Peter; Kinloch, Ross Anderson; Maw, Graham Nigel
PA Pfizer Limited, UK
SO PCT Int. Appl., 108pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO--2006092691	A1	20060908	2006WO-IB0000369	20060216	<--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	AU--2006219643	A1	20060908	2006AU-0002196 43	20060216	<--
	CA-----2599662	A1	20060908	2006CA-002599662	20060216	<--
	EP-----1855686	A1	20071121	2006EP-000710434	20060216	<--
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
	JP--2006241159	A	20060914	2006JP-0000534 15	20060228	<--
	KR--2007107099	A	20071106	2007KR-0007200 10	20070831	<--
	MX---200710721	A	20071113	2007MX-0000107 21	20070831	<--
	IN-2007DN07221	A	20071012	2007IN-DN0007221	20070919	<--
PRAI	2005GB-0000042 09	A	20050301	<--		
	2005US-0067576 1P	P	20050427	<--		
	2006WO-IB0000369	W	20060216			

OS MARPAT 145:315008
GI



I II III

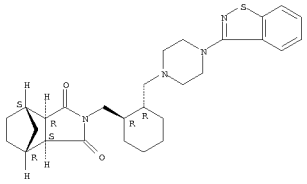


IV

AB Compds. I-III [Ring B = (un)substituted six-membered aryl or heteroaryl ring; Ring A = (un)substituted spirocycle or spiroheterocycle; X = O or NH, NNH2, etc.; Y = O, S, NH, etc.; Z = CHNO2, O, S, etc.; Z1 = H, Me, NH2, etc.] are disclosed as phosphodiesterase 7 (PDE7) inhibitors for use in the manufacture of a medicament for the treatment of neuropathic pain and to a method of treating neuropathic pain using an inhibitor of PDE7. Methods for preparing title compds. are given. Thus, e.g., IV was prepared by substitution of trans-3-[(benzyloxy)methyl]cyclobutyl p-toluenesulfonate (preparation given) with 8'-chloro-5'-hydroxy-1'H-spiro[cyclohexane-1,4'-quinazolin]-2'(3'H)-one followed by deprotection and oxidation In PDE7A inhibition assays, IV demonstrated a Ki value of 1.9 (nM).
IT 367514-87-2, Lurasidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 7 inhibiting compds. useful in treatment of neuropathic pain)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 8 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

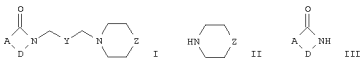


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:627401 HCAPLUS
DN 145:83396
TI Preparation of imides as intermediates for psychotropic agents
IN Ae, Nobuyuki; Bando, Hisashi
PA Sumitomo Chemical Co., Ltd., Japan; Dainippon Pharmaceutical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	JP--2006169155	A	20060629	2004JP-0003625 62	20041215	<--
PRAI	2004JP-0003625 62		20041215	<--		

OS CASREACT 145:83396; MARPAT 145:83396
GI

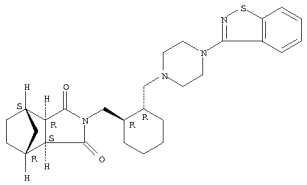


I II III

AB The imides I [A = C2-4 alkylene, C2-4 alkenylene; D = CO, SO2; Y = C1-2 alkylene; Z = (substituted) CH2, (substituted) NH], useful for psychotropic agents for treatment of schizophrenia, senile psychosis, manic-depressive psychosis, neuropathy, etc. (no data), are prepared by treatment of cyclic amines II (Z = same as above) with Y(CH2X)2 (X = anion-generating group; Y = same as above) in the presence of K2CO3 having sp. surface area <1.8 m2/g, and treatment of the resulting spiro quaternary ammonium salts with imides III (A, D = same as above) in the presence of solid inorg. bases. Thus, (1R,2R)-1,2-bis(methanesulfonyloxymethyl)cyclohexane was treated with 4-(1,2-benzisothiazol-3-yl)piperazine in the presence of K2CO3 (sp. surface area 0.6 m2/g) and Bu4N+HSO4-, and treated with hexahydro(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione in the presence of K2CO3 and H2O to give 2-[[(1R,2R)-2-[[4-(1,2-benzoisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione with yield of carbonic acid-derived byproduct 1.5%.
IT 367514-87-2P, 2-[[(1R,2R)-2-[[4-(1,2-Benzoisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of imides as intermediates for psychotropic agents from cyclic amines via spiro quaternary ammonium salts by using K2CO3 with predetd. sp. surface area)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

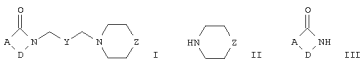
L19 ANSWER 9 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L19 ANSWER 10 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:627400 HCAPLUS
DN 145:83395
TI Preparation of imides as intermediates for psychotropic agents
IN Ae, Nobuyuki; Bando, Hisashi
PA Sumitomo Chemical Co., Ltd., Japan; Dainippon Pharmaceutical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP--2006169154	A	20060629	2004JP-000362561	20041215 <--
PRAI 2004JP-000362561		20041215 <--		

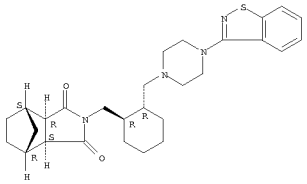
OS MARPAT 145:83395
GI



AB The imides I (A = C2-4 alkylene, C2-4 alkenylene; D = CO, SO2; Y = C1-2 alkylene; Z = (substituted) CH2, (substituted) NH), useful for psychotropic agents for treatment of schizophrenia, senile psychosis, manic-depressive psychosis, neuropathy, etc. (no data), are prepared by treatment of cyclic amines II (Z = same as above) with Y(CH2X)2 (X = anion-generating group; Y = same as above) in the presence of K2CO3 having average particle size (50%D) ≤200 μm, and treatment of the resulting spiro quaternary ammonium salts with imides III (A, D = same as above) in the presence of solid inorg. bases. Thus, (1R,2R)-1,2-bis(methanesulfonyloxymethyl)cyclohexane was treated with 4-(1,2-benzisothiazol-3-yl)piperazine in the presence of K2CO3 (50%D 11 μm) and Bu4N+HSO4-, and treated with hexahydro(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione in the presence of K2CO3 and H2O to give 2-[[(1R,2R)-2-[[4-(1,2-benzoisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione.
IT 367514-87-2P, 2-[[(1R,2R)-2-[[4-(1,2-Benzoisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of imides as intermediates for psychotropic agents from cyclic amines via spiro quaternary ammonium salts by using K2CO3 with predetd. sp. surface area)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 10 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

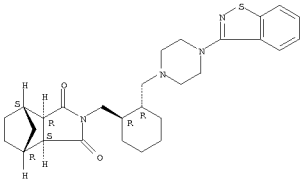


L19 ANSWER 11 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:962496 HCAPLUS
DN 143:242037
TI Method of in vivo screening of therapeutic agent for memory/learning dysfunction by schizophrenia
IN Ishiyama, Takeo
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2005080976	A1	20050901	2005WO-JP0002838	20050216 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP-----1726952	A1	20061129	2005EP-000710541	20050216 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US--2007160537	A1	20070712	2006US-000589804	20060817 <--
PRAI 2004JP-000044986	A	20040220 <--		
2005WO-JP0002838	W	20050216 <--		

AB A method of evaluating memory/learning functions with the use of a model with glutamic acid N-methyl-D-aspartate (NMDA) type receptor dysfunction as an animal model of schizophrenia and with the use of reference memory problems, wherein there has been found concrete means for detecting any difference in activity between typical antipsychotic drug and atypical antipsychotic drug. There is provided an in vivo animal model for screening of an ameliorating agent for cognitive dysfunction by schizophrenia.
IT 367514-87-2, Lurasidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method of in vivo screening of therapeutic agent for memory/learning dysfunction by schizophrenia)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

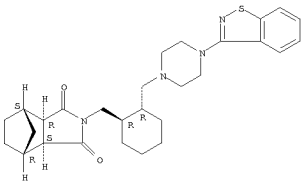
L19 ANSWER 12 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:474939 HCAPLUS
DN 143:1317
TI Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists
IN Buntinx, Erik
PA Belg.
SO U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US--2005119253	A1	20050602	2003US-000725965	20031202 <--
US--2005119248	A1	20050602	2004US-000752423	20040106 <--
US--2005119249	A1	20050602	2004US-000803793	20040318 <--
US--2005203130	A1	20050915	2004US-000984683	20041109 <--
CA------2547639	A1	20050616	2004CA-002547639	20041202 <--
WO--2005053796	A1	20050616	2004WO-BE0000172	20041202 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP-----1708790	A1	20061011	2004EP-000801138	20041202 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
JP--2007512095	T	20070524	2006JP-000541759	20041202 <--
US--2007078162	A1	20070405	2006US-000580962	20060531 <--
PRAI 2003CA-002451798	A	20031202 <--		
2003EP-000447279	A	20031202 <--		
2003US-000725965	A2	20031202 <--		
2004EP-000447001	A	20040105 <--		
2004US-000752423	A2	20040106 <--		
2004CA-002461248	A	20040318 <--		
2004EP-000447066	A	20040318 <--		
2004US-000803793	A2	20040318 <--		
2004EP-000025035	A	20041021 <--		
2004JP-000349085	A	20041104 <--		
2004US-000984683	A	20041109 <--		
2004CA-002487529	A	20041115 <--		
2004WO-BE0000172	W	20041202 <--		

AB The present invention relates to methods of treating the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds. The combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.
IT 367514-88-3, SM 13496
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)
RN 367514-88-3 HCAPLUS

L19 ANSWER 12 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

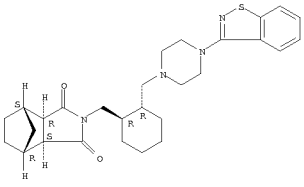
Absolute stereochemistry.



● HCl

L19 ANSWER 13 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)
RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L19 ANSWER 13 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:474936 HCAPLUS
DN 143:1315
TI Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists
IN Buntinx, Erik
PA Belg.
SO U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 725,965.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US--2005119248	A1	20050602	2004US-000752423	20040106 <--
	US--2005119253	A1	20050602	2003US-000725965	20031202 <--
	US--2005119249	A1	20050602	2004US-000803793	20040318 <--
	US--2005203130	A1	20050915	2004US-000984683	20041109 <--
	CA-----2547639	A1	20050616	2004CA-002547639	20041202 <--
	WO--2005053796	A1	20050616	2004WO-BE0000172	20041202 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP-----1708790	A1	20061011	2004EP-000801138	20041202 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	JP--2007513095	T	20070524	2006JP-000541759	20041202 <--
	US--2007078162	A1	20070405	2006US-000580962	20060531 <--
PRAI	2003US-000725965	A2	20031202	<--	
	2003CA-002451798	A	20031202	<--	
	2003EP-000447279	A	20031202	<--	
	2004EP-000447001	A	20040105	<--	
	2004US-000752423	A2	20040106	<--	
	2004CA-002461248	A	20040318	<--	
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	2004JP-000349085	A	20041104	<--	
	2004US-000984683	A	20041109	<--	
	2004CA-002487529	A	20041115	<--	
	2004WO-BE0000172	W	20041202	<--	

AB The present invention relates to methods of treating of the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds. The combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, an NK1 antagonist, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.
IT 367514-88-3, SM 13496
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L19 ANSWER 14 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:99501 HCAPLUS
DN 142:198101
TI Process for producing benzisothiazolylpiperazinylmethylcyclohexylmethylbicycloheptanedicarboxyimide hydrochloride
IN Kakiya, Yuzo; Oda, Mayumi
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2005009999	A1	20050203	2004WO-JP0011035	20040727 <--
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU--2004259305	A1	20050203	2004AU-000259305	20040727 <--
	CA-----2538265	A1	20050203	2004CA-002538265	20040727 <--
	EP-----1652848	A1	20060503	2004EP-000748182	20040727 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN-----1832946	A	20060913	2004CN-080022168	20040727 <--
	BR--2004013081	A	20061003	2004BR-000013081	20040727 <--
	US--2006194970	A1	20060831	2006US-000565105	20060119 <--
	MX-2006PA01128	A	20060907	2006MX-PA0001128	20060127 <--
	IN-2006CN00349	A	20070706	2006IN-CN0000349	20060127 <--
PRAI	2003JP-000281860	A	20030729	<--	
	2004WO-JP0011035	W	20040727	<--	

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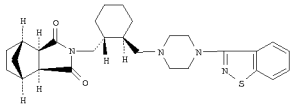
GI

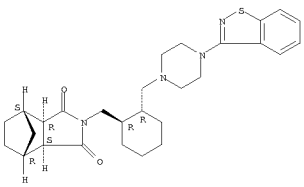


I

AB Claimed is a process for producing the title compound I.HCl or enantiomers thereof by treating I or enantiomers thereof with an aqueous hydrochloric acid solution in a hydrophilic solvent and crystallizing I.HCl or enantiomers thereof. I.HCl is a psychotropic agent (no data). Thus, I in acetone was heated under reflux; an aqueous HCl solution was added over 15 min to the solution of I in acetone at 55°C; the resulting solution was stirred at 60°C for 1 h; said solution was cooled to 0°C and stirred at 0°C for 1 h to give I.HCl.
IT 367514-88-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(crystallization of benzisothiazolylpiperazinylmethylcyclohexylmethylbicycloheptanedicarboxyimide hydrochloride)
RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

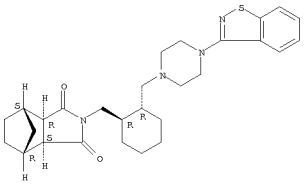
L19 ANSWER 14 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

IT 367514-87-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(crystallization of benzisothiazolylpiperazinylmethylcyclohexylmethylbicyclohep tanedicarboxyimide hydrochloride)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:1127342 HCAPLUS
DN 142:74613
TI Preparation of 1-aryl-4-(aryloxycarbonyl)piperazines as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
IN Hansen, Holger Claus; De Jong, Johannes Cornelis; Jacobsen, Poul; Ebdrup, Soren
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2004111007	A1	20041223	2004WO-DK000396	20040610 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU--2004247320	A1	20041223	2004AU-000247320	20040610 <--
CA-----2526518	A1	20041223	2004CA-002526518	20040610 <--
EP-----1636189	A1	20060322	2004EP-000736501	20040610 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
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CN-----1798735	A	20060705	2004CN-080014954	20040610 <--
JP--2006527211	T	20061130	2006JP-000515704	20040610 <--
MX-2005PA13225	A	20060309	2005MX-PA013225	20051206 <--
US--2006160819	A1	20060720	2005US-000299637	20051212 <--
IN-2006DN00229	A	20070817	2006IN-DN0000229	20060112 <--
PRAI 2003DK-000000876	A	20030612 <--		
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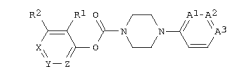
OS MARPAT 142:74613
GI



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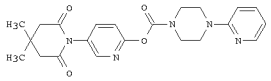
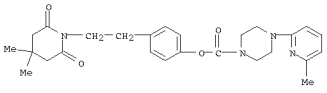


II

AB Title compds. I [wherein X = N or CR3; Y = N or CR4; Z = N or CR5; A1 = N or CR6, A2 = N or CR7, A3 = N or CR8; provided that at least one of A1, A2 and A3 is N; R1-R8 = H, halo, (un)substituted OH, sulfanyl, amino, sulfo, alkenyl, (hetero)aryl, (cyclo)alkyl or heterocyclyl; with three exclusions, or pharmaceutically acceptable salts, tautomeric forms, and stereoisomers thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). Also disclosed are pharmaceutical compns. comprising I and the process for the prepns. of I. For example, treatment of 6''-hydroxy-4,4-dimethyl-4,5-dihydro-3H-[1,3']bipyridinyl-2,6-dione with

L19 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
phosgene followed by coupling with 1-(2-pyridyl)piperazine gave carbamate II, which showed 55% inhibition of HSL at a concn. of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders in which lowering of the activity of hormone-sensitive lipase is desired, such as diabetes and dyslipidemia (no data).
IT 811791-24-9P, 4-(6-Methylpyridin-2-yl)piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester
811791-25-0P, 4-(Pyridin-2-yl)piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester
811791-26-1P, 4-(5-Methylpyridin-2-yl)piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester
811791-27-2P, 4-(5-Carboxypyridin-2-yl)piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester
811791-28-3P, 4-(5-Carboxymethylpyridin-2-yl)piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811791-29-4P, 4-(5-Trifluoromethylpyridin-2-yl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811791-30-7P, 4-(5-Fluoropyridin-2-yl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811791-31-8P, 4-(5-Chloropyridin-2-yl)piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of arylpiperazine carbamates as hormone-sensitive lipase inhibitors)
RN 811791-24-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(6-methyl-2-pyridinyl)-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



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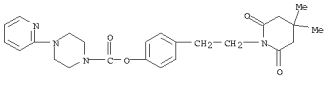

RN 811791-26-1 HCAPLUS
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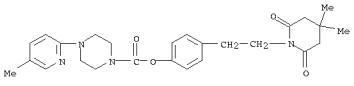
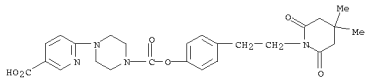


RN 811791-27-2 HCAPLUS

L19 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 1-Piperazinecarboxylic acid, 4-(5-carboxy-2-pyridinyl)-, 1-[4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl] ester (CA INDEX NAME)



RN 811791-28-3 HCAPLUS
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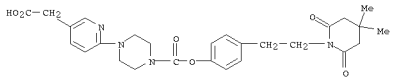

RN 811791-29-4 HCAPLUS
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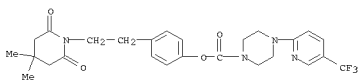

RN 811791-30-7 HCAPLUS
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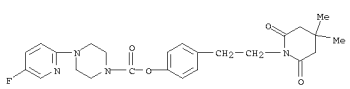
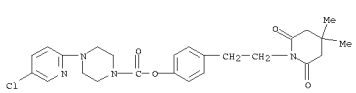


RN 811791-31-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(5-chloro-2-pyridinyl)-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



L19 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1127339 HCAPLUS
DN 142:56360
TI Preparation of piperazine carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
IN Hansen, Holger Claus; Cornelis De Jong, Johannes; Vedso, Per; Jacobsen, Poul; Ebdrup, Soren
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2004111004	A1	20041223	2004WO-DK0000397	20040610 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP-----1636187	A1	20060322	2004EP-000736504	20040610 <--
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OS MARPAT 142:56360
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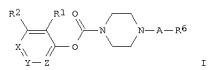

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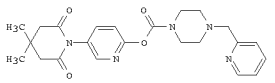
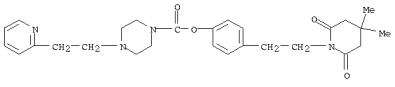


II

AB Title compds. I [wherein R1, R2 = H, amino, halo, (un)substituted OH, sulfanyl, sulfo, alkoxy, alkenyl, (hetero)aryl, (cyclo)alkyl or heterocyclyl; X = N or CR3, Y = N or CR4, Z = N or CR5; provided that X, Y and Z are not all CH; R3-R5 = H, F, (un)substituted OH, amino, sulfanyl, sulfo, alkenyl, (hetero)aryl, (cyclo)alkyl or heterocyclyl; R6 = (un)substituted alkenyl, (hetero)aryl, (cyclo)alkyl or heterocyclyl; A = CH2, or CH2CH2; with some exclusions, or pharmaceutically acceptable salts, tautomeric forms, and stereoisomers thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). Also disclosed are pharmaceutical compns. comprising I and the process for the prepns. of I. For example, treatment of 6'-hydroxy-4,4-dimethyl-4,5-dihydro-3H-[1,3']bipyridinyl-2,6-dione with phosgene followed by coupling with

L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
1-[(pyridin-2-yl)methyl]piperazine in the presence of DABCO in CH2Cl2 gave carbamate II, which showed 64% inhibition of HSL at a concn. of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders in which lowering of the activity of hormone-sensitive lipase is desired, such as diabetes and dyslipidemia (no data).
IT 811420-68-5P, 4-[2-(Pyridin-2-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811420-70-9P, 4-[2-(Pyridin-2-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester trifluoroacetate 811424-49-4P, 4-[(Pyridin-2-yl)methyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-50-7P, 4-[(Pyrimidin-4-yl)methyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-51-8P, 4-[(Pyrazin-2-yl)methyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-52-9P, 4-[2-(6-Methylpyridin-2-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-53-0P, 4-[3-(6-Methylpyridin-2-yl)propyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-54-1P, 4-[2-(Pyridin-3-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-55-2P, 4-[3-(Pyridin-3-yl)propyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-56-3P, 4-[3-(Pyridin-2-yl)propyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-57-4P, 4-(6-Methylpyridin-2-ylmethyl)piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-58-5P, 4-[(Pyridin-3-yl)methyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-59-6P, 4-[2-(4-Methylthiazol-5-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-60-9P, 4-[3-(5-Carboxypyridin-2-yl)propyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-61-0P, 4-(5-Carboxypyridin-2-ylmethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-62-1P, 4-[2-(5-Methylpyridin-2-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-63-2P, 4-[3-(5-Methylpyridin-2-yl)propyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-64-3P, 4-[2-(Thiazol-2-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-65-4P, 4-[2-(2-Methylthiazol-4-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-66-5P, 4-[2-(1H-Pyrrol-2-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-67-6P, 4-[2-(1H-Imidazol-4-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-68-7P, 4-[2-(1-Methyl-1H-imidazol-4-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester 811424-69-8P, 4-[2-(3-Methylisoxazol-5-yl)ethyl]piperazine-1-carboxylic acid 4-[2-(4,4-dimethyl-2,6-dioxopiperidin-1-yl)ethyl]phenyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazine carbamates as hormone-sensitive lipase inhibitors)
RN 811420-68-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-pyridinyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)

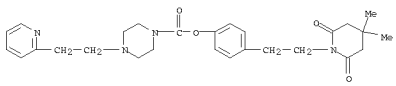


L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 811420-70-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-pyridinyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

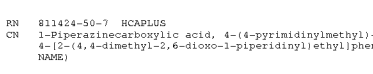
CRN 811420-68-5
CMF C27 H34 N4 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 811424-49-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-pyridinylmethyl)-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



RN 811424-50-7 HCAPLUS
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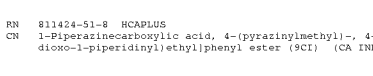
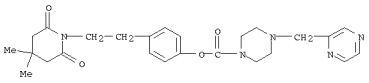
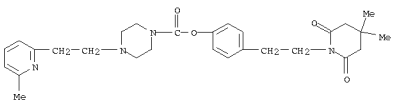


RN 811424-51-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(pyrazinylmethyl)-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (9CI) (CA INDEX NAME)

L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 811424-52-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(6-methyl-2-pyridinyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



RN 811424-53-0 HCAPLUS
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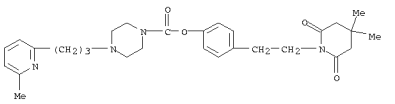
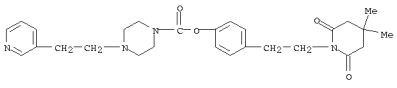
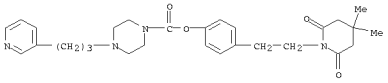


RN 811424-54-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(3-pyridinyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)

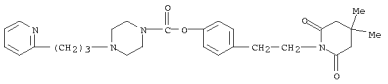


RN 811424-55-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(3-pyridinyl)propyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)

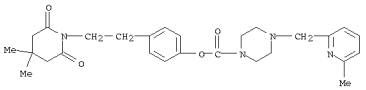
L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



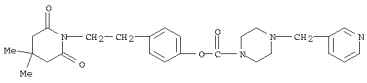
RN 811424-56-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(2-pyridinyl)propyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



RN 811424-57-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(6-methyl-2-pyridinyl)methyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



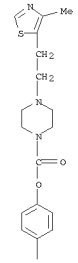
RN 811424-58-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-pyridinylmethyl)-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



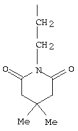
RN 811424-59-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(4-methyl-5-thiazolyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)

L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

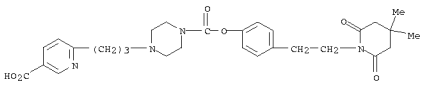
PAGE 1-A



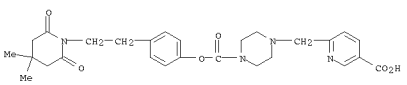
PAGE 2-A



RN 811424-60-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(5-carboxy-2-pyridinyl)propyl]-, 1-[4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl] ester (CA INDEX NAME)

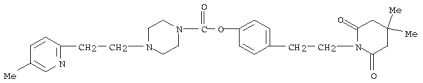


RN 811424-61-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(5-carboxy-2-pyridinyl)methyl]-, 1-[4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl] ester (CA INDEX NAME)

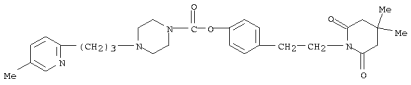


L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

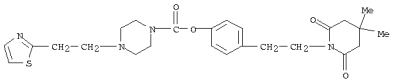
RN 811424-62-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(5-methyl-2-pyridinyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



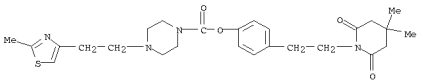
RN 811424-63-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(5-methyl-2-pyridinyl)propyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



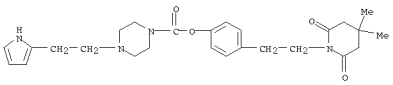
RN 811424-64-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-thiazolyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



RN 811424-65-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-methyl-4-thiazolyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)

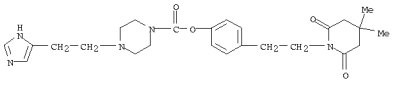


RN 811424-66-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(1H-pyrrol-2-yl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)

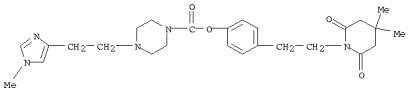


L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 811424-67-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(1H-imidazol-4-yl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (9CI) (CA INDEX NAME)

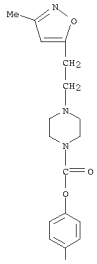


RN 811424-68-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(1-methyl-1H-imidazol-4-yl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)



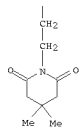
RN 811424-69-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(3-methyl-5-isoxazolyl)ethyl]-, 4-[2-(4,4-dimethyl-2,6-dioxo-1-piperidinyl)ethyl]phenyl ester (CA INDEX NAME)

PAGE 1-A



L19 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 2-A



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

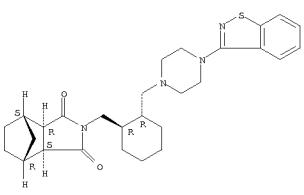
L19 ANSWER 17 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:182710 HCAPLUS
DN 140:210810
TI Remedy for integration dysfunction syndrome
IN Nakamura, Mitsutaka; Ogasa, Masaaki; Sami, Shunsuke
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2004017973	A1	20040304	2003WO-JP0010490	20030820 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU--2003257589	A1	20040311	2003AU-0002575589	20030820 <--
EP-----1535616	A1	20050601	2003EP-0007927731	20030820 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US--2006025422	A1	20060202	2005US-0005525021	20050218 <--
PRAI 2002US-00404927P	P	20020822	<--	
2003WO-JP0010490	W	20030820	<--	

AB It is intended to provide a novel method of treating integration dysfunction syndrome. Namely, 5 mg to 120 mg/day of an active compound (1R,2S,3R,4S)-N-[(1R,2R)-2-[4-(1,2-benzoisothiazol-3-yl)-1-piperazinylmethyl]-1-cyclohexylmethyl]-2,3-bicyclo[2.2.1]heptane dicarboximide or its pharmaceutically acceptable salt (for example, hydrochloride) is orally administered to a patient with integration dysfunction syndrome once a day. According to this method, broad symptoms of integration dysfunction syndrome, in particular, pos. symptoms and neg. symptoms, can be ameliorated without causing any extrapyramidal reactions.
IT 367514-88-3
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedy for integration dysfunction syndrome)
RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

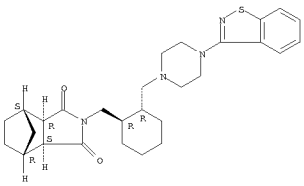
L19 ANSWER 17 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L19 ANSWER 18 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:633455 HCAPLUS
DN 139:159958
TI Valproate compound-atypical antipsychotic agent combination therapy for treatment of schizophrenia
IN Sommerville, Kenneth W.; Gilbert, Adrienne L.; Tracy, Katherine A.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2003066039	A1	20030814	2003WO-US0002540	20030129 <--
W: CA, JP, MX				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA-----2475839	A1	20030814	2003CA-002475839	20030129 <--
EP-----1480629	A1	20041201	2003EP-000737557	20030129 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR, BG, CZ, EE, HU, SK				
JP--2006505489	T	20060216	2003JP-000565463	20030129 <--
MX-2004PA07752	A	20050617	2004MX-PA0007752	20040809 <--
PRAI 2002US-000071733	A	20020208	<--	
2003WO-US0002540	W	20030129	<--	

AB The invention discloses a treatment for schizophrenia. It has been discovered that schizophrenia will respond to the combination of an atypical antipsychotic, e.g. olanzapine, and a valproate compound, e.g. divalproex sodium. This combination is especially useful for alleviating the acute symptoms of schizophrenia. The invention also extends to new formulations containing an antipsychotic in combination with a valproate compound
IT 367514-88-3, SM-13496
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(valproate compound-atypical antipsychotic agent combination therapy for treatment of schizophrenia)
RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



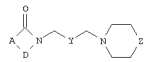
● HCl

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 19 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:424505 HCAPLUS
DN 139:6890
TI Preparation of imides as intermediates for psychotropic agents
IN Kiyoshima, Yujiro; Bando, Hisashi
PA Sumitomo Chemical Co., Ltd., Japan; Sumitomo Pharmaceuticals Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

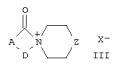
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP--2003160583	A	20030603	2001JP-000360426	20011127 <--
PRAI 2001JP-000360426		20011127	<--	
OS MARPAT 139:6890				

GI



I

II

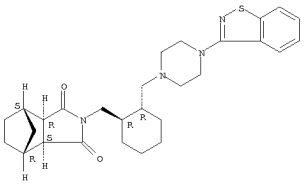


III

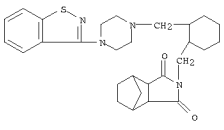
AB Imides I [A = (un)substituted C2-4 alkylene, (un)substituted C2-4 alkenylene; D = CO, SO2; Y = (un)substituted C1-2 alkylene; Z = (un)substituted CH2, (un)substituted NH], useful for psychotropic agents for treatment of schizophrenia, manic-depressive psychosis, neuropathy, etc., are prepared by treatment of imides II (A, D = same as above) with quaternary ammonium salts III (Y, Z = same as above; X- = anion) in the presence of solid inorg. bases and H2O in aromatic hydrocarbon solvents. Thus, MePh solution of 4'-(1,2-benzisothiazol-3-yl)-(3aR,7aR)-octahydro-spiro[2H-isoindole-2,1'-piperazinium] methanesulfonate was refluxed with hexahydro-(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione, K2CO3, and H2O for 2 h to give 83% 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-(3aS,4R,7S,7aR)-4,7-methano-1H-isoindole-1,3(2H)-dione.
IT 367514-87-2P 535933-87-0P, N-[[2-[[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-4,7-methano-1H-isoindole-1,3(2H)-dione
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of imides as intermediates for psychotropic agents in presence of solid inorg. bases and water)
RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 19 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 535933-87-0 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro- (CA INDEX NAME)



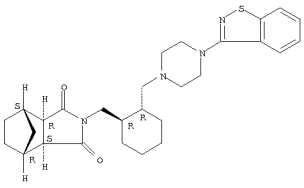
L19 ANSWER 20 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:521465 HCAPLUS
DN 137:98994
TI Pharmaceuticals containing a combination of norepinephrine reuptake inhibitors and neuroleptics
IN Wong, Erik Ho Fong; Gallen, Christopher C.; Svensson, Torgny
PA Pharmacia & Upjohn Company, USA; Pharmacia AB
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2002053140	A2	20020711	2001WO-US0045871	20011227 <--
WO--2002053140	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA-----2431041	A1	20020711	2001CA-002431041	20011227 <--
AU--2002232470	A1	20020716	2002AU-000232470	20011227 <--
EP-----1353675	A2	20031022	2001EP-000991997	20011227 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LI, LV, FI, RO, MK, CY, AL, TR				
JP--2004517112	T	20040610	2002JP-000554091	20011227 <--
NZ------526801	A	20050729	2001NZ-000526801	20011227 <--
US--2002156067	A1	20021024	2001US-000035100	20011228 <--
US-----6964962	B2	20051115		
MX-2003PA06003	A	20050908	2003MX-PA0006003	20030702 <--
US--2006003992	A1	20060105	2005US-000219901	20050906 <--
PRAI 2001US-00259286P	P	20010102	<--	
2001WO-US0045871	W	20011227	<--	
2001US-000035100	A3	20011228	<--	

AB A composition comprising: (a) a pharmaceutically effective amount of one or more norepinephrine reuptake inhibitors or a salt; and (b) 1 or more neuroleptics is provided. The composition is useful in treating disorders or diseases of the central nervous system, and particularly useful in treating schizophrenia. A pharmaceutical composition was prepared by combining reboxetine with a neuroleptic in an acceptable carrier. The composition contains 0.01-10 mg rebexetine and 25-300 mg clozapine.
IT 367514-88-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals containing combination of norepinephrine reuptake inhibitors and neuroleptics)
RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 20 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

L19 ANSWER 21 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:240535 HCAPLUS
DN 136:268164
TI Oral compositions with favorable disintegration characteristics
IN Fujihara, Kazuyuki
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--20020241666	A1	20020328	2001WO-JP0007983	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU--2001086237	A	20020402	2001AU-000086237	20010914 <--
CA-----2424001	A1	20030320	2001CA-002424001	20010914 <--
EP-----1327440	A1	20030716	2001EP-000965637	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US--20040028741	A1	20040212	2003US-000381036	20030321 <--
PRAI 2000JP-000288234	A	20000922 <--		
2001WO-JP0007983	W	20010914 <--		

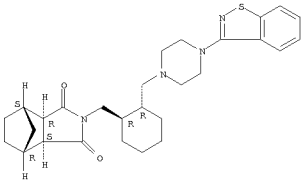
AB Disclosed are oral compns. containing a hardly water-soluble active ingredient and having favorable disintegration characteristics which comprise a molded solid article (for example, granules) obtained by mixing the hardly water-soluble active ingredient, a first disintegrating agent and a water-soluble filler with the use of a water-soluble polymer binder and then mixing this molded solid article with a second disintegrating agent, or a molded solid article obtained by mixing the hardly water-soluble active ingredient, a disintegrating agent and a sugar alc. with the use of a water-soluble polymer binder. When orally administered, these prepns. show excellent elution of the active ingredient in the digestive tract. Moreover, these prepns. can show the same elution behavior at different contents of the active ingredient and thus enable the selection of the most suitable drug for each patient, which makes these prepns. highly useful in clin. medicine. A film-coated tablet was prepared form granules containing N-[4-[4-(1,2-benzisothiazole-3-yl)-1-piperazinyl]-(2R,3R)-2,3-tetramethylene-butyl]-(1'R,2'S,3'R,4'S)-2,3-bicyclo[2,2,1]heptanedicarboxy imide hydrochloride 10, lactose 50, sodium croscarmellose 6 mg, and polyvinyl alc. 1.2 mg, calcium hydrogen phosphate anhydride 35, crystalline cellulose 17, and magnesium stearate 0.8 mg, and a coating material containing hydroxypropyl Me cellulose 1.95, titanium oxide 0.6, concentrate glycerin 0.45 mg, and carnauba wax q.s.

IT 367514-88-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral compns. with favorable disintegration characteristics containing hardly water-soluble active ingredients)

RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 21 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 22 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:762782 HCAPLUS
DN 135:322722
TI Coating agents for sustained-release oral preparations containing basic drugs
IN Nishii, Hiroyuki; Kobayashi, Hirohisa; Otoda, Kazuya
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

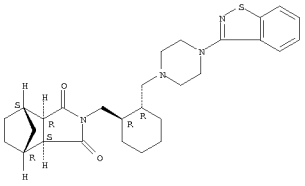
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--20010765577	A1	20011018	2001WO-JP0003024	20010409 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI 2000JP-000107671	A	20000410 <--		

AB Disclosed are pH-independent sustained release prepns. capable of releasing a drug independently from the pH value in the gastric tract. These sustained release prepns. are characterized in that a drug-containing core is coated with (1) a first layer made of a water-insol. polymer, and (2) a second layer made of an enteric polymer and a water-soluble polymer. Core granules were prepared containing perospirone·HCl, crystalline cellulose, PVP, starch and silica. The granules were coated with a first composition containing Et cellulose, talc, tri-Et citrate, ethanol, and water, and then a second composition containing methacrylate copolymer, PVP, sucrose ester, Macrogol 6000, and water.

IT 367514-87-2 367514-88-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric coating agents for sustained-release oral prepns. containing basic drugs)

RN 367514-87-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)- (CA INDEX NAME)

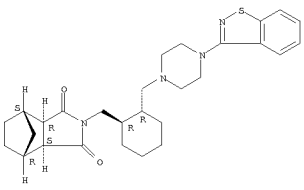
Absolute stereochemistry.



RN 367514-88-3 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, hydrochloride (1:1), (3aR,4S,7R,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

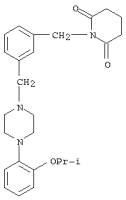
L19 ANSWER 22 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 23 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

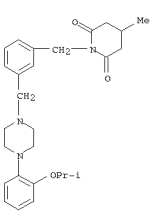


CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

RN 155956-91-5 HCAPLUS
CN 2,6-Piperidinedione, 4-methyl-1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 23 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1998:232206 HCAPLUS
Correction of: 1995:826855
DN 128:225703
Correction of: 123:275191
TI N-Aryl-N'-Benzylpiperazines as Potential Antipsychotic Agents
AU Reitz, Allen B.; Baxter, Ellen W.; Bennett, Debra J.; Codd, Ellen E.; Jordan, Alfonzo D.; Malloy, Elizabeth A.; Maryanoff, Bruce E.; McDonnell, Mark E.; Ortegon, Marta E.; Renzi, Michael J.; Scott, Malcolm K.; Shank, Richard P.; Sherrill, Ronald G.; Vaught, Jeffry L.; Wustrow, David J.
CS Drug Discovery, R. W. Johnson Pharmaceutical Res. Inst., Spring House, PA, 19477, USA
SO Journal of Medicinal Chemistry (1995), 38(21), 4211-4222
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB N1-(2-Alkoxyphenyl)piperazines addnl. containing an N4-benzyl group bearing alc., amide, imide, or hydantoin functionalities were prepared and evaluated in the conditioned avoidance response (CAR) test predictive of clin. antipsychotic activity and in in vitro receptor-binding assays. Certain of the compds. display high affinity for the D2, 5-HT1A, and α1-adrenergic receptors. Structures bearing acyclic amide, lactam, and imide functionalities display good biol. activity, with a preference for the 1,3-disubstituted Ph ring relative to the 1,4- and 1,2-congeners. Every possible position of hydantoin attachment was investigated (e.g., substitution at N1, N3, and C5). The hydantoin involving attachment to N1 was found to have good biol. activity, whereas those hydantoins with attachment to N3 or C5 were inactive. Several of the smaller acetylated derivs. have fair in vivo activity, which was lost in the case of a larger benzoyl analog. A uracil congener had modest affinity for the D2 receptor (65 nM) as well as excellent in vivo activity. Benzylamino compds. display moderate CAR activity but have surprising receptor affinity, often greater than those of comparable structures bearing a carbonyl. Benzyl and benzhydryl alc. compds. are more active than amino structures and also exhibit excellent in vivo activity in the CAR test with modest D2 and 5-HT1A receptor binding, with activity being restricted to the 1,3- and 1,4-disubstitution pattern.
IT 155956-84-6P 155956-91-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and potential antipsychotic activity of arylbenzylpiperazines)
RN 155956-84-6 HCAPLUS
CN 2,6-Piperidinedione, 1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

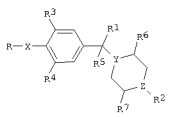
CM 1

CRN 155956-83-5
CMF C26 H33 N3 O3

L19 ANSWER 24 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1998:112193 HCAPLUS
DN 128:180426
TI Preparation of piperazine and piperidine derivatives as muscarinic antagonists
IN Lowe, Derek B.; Chang, Wei K.; Kozlowski, Joseph A.; Berger, Joel G.; McQuade, Robert; Barnett, Allen; Sherlock, Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-yong; Clader, John W.; Chackalamannil, Samuel; Wang, Yuguang; McCombie, Stuart W.; Tagat, Jayaram R.; Vice, Susan F.; Vaccaro, Wayne D.; Green, Michael J.; Browne, Margaret E.; Asberom, Theodros; Boyle, Craig D.; Josien, Hubert B.
PA Schering Corp., USA
SO PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-9805292	A2	19980212	1997WO-US013383	19970806 <--
WO-9805292	A3	19980402		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US-5889006	A	19990330	1996US-000700628	19960808 <--
CA-2261725	A1	19980212	1997CA-002261725	19970806 <--
CA-2261725	C	20051025		
AU-9738999	A	19980225	1997AU-000038999	19970806 <--
AU-724001	B2	20000907		
EP-938483	A2	19990901	1997EP-000936296	19970806 <--
EP-938483	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
BR-9711119	A	19991123	1997BR-000011119	19970806 <--
JP-2000501117	T	20000202	1998JP-000508038	19970806 <--
JP-3748894	B2	20060222		
NZ-333801	A	20000428	1997NZ-000333801	19970806 <--
AT-233260	T	20030315	1997AT-000936296	19970806 <--
NO-9900551	A	19990407	1999NO-000000551	19990205 <--
HK-1018776	A1	20030829	1999HK-000103789	19990902 <--
PRAI 1996US-000700628	A	19960808	<--	
1995US-000392697	B2	19950223	<--	
1995US-000457712	B2	19950602	<--	
1996US-000602403	A2	19960216	<--	
1997WO-US013383	W	19970806	<--	

OS MARPAT 128:180426
GI

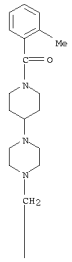


I

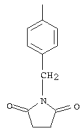
AB Title compds. I (R = OH, HOCH2, etc.; R1 = H, alkyl, alkenyl, cyano, etc.; R2 =H, (un)substituted piperidine; R3 = cycloalkylalkyl, haloacyl, benzyloxalkyl, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = H, alkyl,alkenyl,cyano, etc.; R1-R5 = (un)substituted saturated (hetero)cyclic ring; R6 = H, alkyl, hydroxyalkyl, arylalkyl, aminoalkyl, etc.; R7 = indolylalkyl, carboxyalkyl, etc.; X = O, S, SO, SO2,CO, CS, NHCOO, etc.; RX = I, Br, alkylcarbonyl, etc.; Y = N, CH, C-alkyl; Z = N, CH, C-alkyl), including isomers, salts, esters, and solvates, are prepared and are defined

L19 ANSWER 24 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
muscarinic antagonists useful for treating cognitive disorders such as Alzheimer's disease. Pharmaceutical compns. and methods of prepn. are also disclosed. Also disclosed are synergistic combinations of I with acetylcholinesterase inhibitors.
IT 203181-07-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine and piperidine derivs. as muscarinic antagonists)
RN 203181-07-1 HCAPLUS
CN Piperidine, 4-[4-[[4-[(2,5-dioxo-1-pyrrolidinyl)methyl]phenyl]methyl]-1-piperazinyl]-1-(2-methylbenzoyl)-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

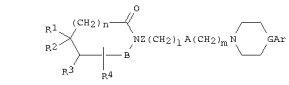


x HCl

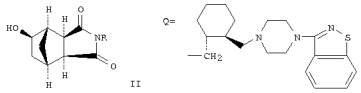
L19 ANSWER 25 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1997:113315 HCAPLUS
DN 126:157522
TI Preparation of cyclic imide derivatives as psychotropics
IN Yoshigi, Mayumi; Oono, Yukihiro; Kojima, Atsuyuki
PA Sumitomo Pharmaceuticals Co., Ltd., Japan; Dainippon Pharmaceutical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP----08333368	A	19961217	1995JP-000168261	19950609 <--
JP-----3775823	B2	20060517		
PRAI 1995JP-000168261		19950609	<--	

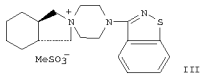
OS MARPAT 126:157522
GI



I



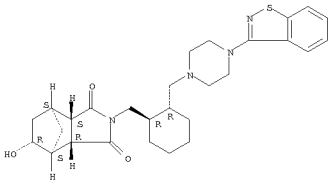
II



III

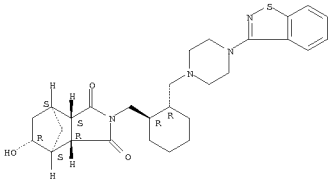
AB The title compds. [I; B = CO, SO2; R1 and R2 are combined together to represent a hydrocarbon ring substituted by at least one OH group and R3 = H or OH; or R1 and R3 are combined together to represent a hydrocarbon ring optionally substituted by alkyl and optionally bridged by lower (hydroxy and/or alkyl)alkylene or O; R4 = H, lower alkyl; n = 0,1; A = hydrocarbon ring optionally substituted by alkyl and optionally bridged by lower (alkyl)alkylene or O; l, m = 0,1; G = N, CH, COH; Ar = (un)substituted aromatic heterocyclyl, aromatic hydrocarbyl, PhCO, PhO, or PhS; or G = C and Ar = (un)substituted biphenylmethylidene], which possess antiapomorphine activity with reduced side effects and are useful for the treatment of schizophrenia, senile mental diseases, depressant, and nerve diseases, are prepared Thus, the imide (II; R = H) was condensed with a quaternary ammonium salt (III) (preparation given) in the presence of K2CO3 in DMF at 120° for 10 h to give N-[2-(N-benzisothiazolyl-1-piperazinylmethyl)-1-cyclohexylmethyl]bicyclo[2.2.1]heptanedicarboximide III (R = Q). The latter compound in vitro showed Ki of 3.46 nM for D2 receptor in binding assay using [3H]spiroperidol and in vivo showed ED50 of 0.110 mg/kg i.p. for an anti-methamphetamine test in rats.
IT 186204-31-9P 186204-32-0P 186204-33-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic imide derivs. as psychotropics)
RN 186204-31-9 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-5-hydroxy-, [2(1R*,2R*),3aα,4β,5β,7β,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 186204-32-0 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-5-hydroxy-, monohydrochloride, [2(1R*,2R*),3aα,4β,5β,7β,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

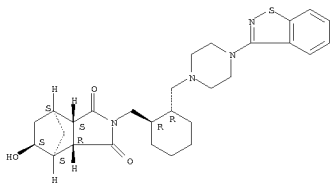


HCl

RN 186204-33-1 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-5-hydroxy-, [2(1R*,2R*),3aα,4β,5α,7β,7aα]- (9CI) (CA INDEX NAME)

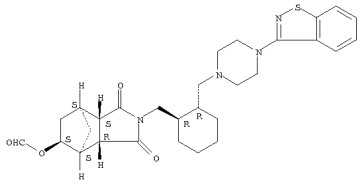
Relative stereochemistry.

L19 ANSWER 25 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 186204-38-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic imide derivs. as psychotropics)
RN 186204-38-6 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-5-(formyloxy)hexahydro-, [2(1R*,2R*),3aα,4β,5α,7β,7aα]- (9CI) (CA INDEX NAME)

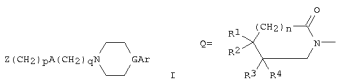
Relative stereochemistry.

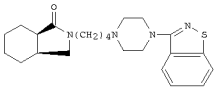


L19 ANSWER 26 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:462314 HCAPLUS
DN 125:142768
TI Preparation of heterocycle-containing lactam derivatives as psychotropics
IN Kojima, Atsuyuki; Antoku, Fujio; Yoshigi, Mayumi; Tanno, Norihiko; Nishihara, Toshio; Toyoda, Tomohiro; Ohno, Yukihiro
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-----9614297	A1	19960517	1995WO-JP002256	19951106 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP-----3948744	B2	20070725	1996JP-000515196	19951106 <--
PRAI 1994JP-000295601	A	19941104	<--	
1995WO-JP002256	W	19951106	<--	

OS MARPAT 125:142768
GI

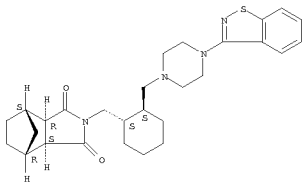

I


II

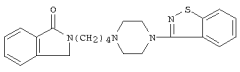
AB Lactam derivs. represented by general formula [I; R1, R2, R3, R4 = H or lower alkyl, provided a pair of R1 and R2, R3 and R4, R1 and R3, or R2 and R4 may form a hydrocarbon ring which may be bridged with lower alkylene or oxygen, and the lower alkylene and the hydrocarbon ring may be substituted by at least one alkyl group; n = 0 or 1; A = lower alkylene, lower alkenylene or a hydrocarbon ring which may be bridged with lower alkylene (which may be substituted by at least one alkyl or hydroxy group) or oxygen, and the lower alkylene, the lower alkenylene and the hydrocarbon ring may be each substituted by at least one alkyl or hydroxy group; p, q = 0, 1 or 2; G = N or CH and Ar = heteroaryl or aromatic hydrocarbon group, or alternatively G = CH and Ar = phenoxy, provided the heteroaryl group, the aromatic hydrocarbon group and the phenoxy group may be each substituted by at least one lower alkyl, lower alkoxy or halogeno] or acid-addition salts thereof, which have excellent characteristics as psychotropic drugs, and being useful for treating schizophrenia, senile psychosis, manic depressive psychosis, neurosis, and so forth, are prepared Thus, (1R,2S)-N-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butyl]-1,2-cyclohexanedicarboxyimide was reduced by LiAlH4 in THF and then by Et3Si in a mixture of CF3CO2H and CH2Cl2 to give the title compound (II). II in vitro inhibited 80% the binding of dopamine D2 receptor ligand, [3H]raclopride, to rat extrapyramidal membrane and 81% the binding of serotonin 5-HT2 receptor ligand, [3H]ketanserin, to rat whole brain membrane fraction (excluding cerebellum), and showed Ki of 0.73 mM for inhibiting the binding of dopamine D4 receptor ligand, [3H]spiperone, to human D4.4-expressing CHO cell membrane fraction.
IT 139505-45-6

L19 ANSWER 26 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heterocycle-contg. lactam derivs. as psychotropics)
RN 139505-45-6 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)-rel- (CA INDEX NAME)

Relative stereochemistry.

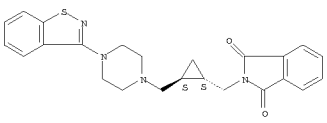


L19 ANSWER 27 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:982966 HCAPLUS
DN 124:117238
TI Effect of linking bridge modifications on the antipsychotic profile of some phthalimide and isoindolinone derivatives.
AU Norman, Mark H.; Minick, Douglas J.; Rigdon, Greg C.
CS Division of Medicinal Chemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
SO Journal of Medicinal Chemistry (1996), 39(1), 149-57
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 124:117238
GI

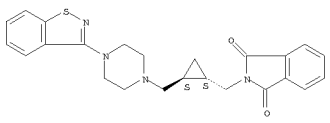

I

AB A series of compds. containing phthalimide and isoindolinone derivs. bridged to 4-(1,2-benzisothiazol-3-yl)-1-piperazine was prepared The compds. were evaluated in vitro at dopamine D2 and serotonin 5-HT1a and 5-HT2 receptors and in vivo for their ability to antagonize apomorphine-induced climbing in mice. The effects of bridge length and conformation on the biol. activity of these potential antipsychotic agents are discussed. A 4-carbon spacer provided optimal activity within the two homologous series. Conformational investigations of the lead compound, isoindolinone (I), were conducted in an attempt to account for the superior activity observed for the butylene derivs. On the basis of NMR and mol. modeling studies, two types of folded structures were proposed and several conformationally restrained analogs were synthesized. In general, restrictions incorporated within the linking bridge were detrimental to activity.
IT 173095-14-2P 173095-19-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(effect of linking bridge modifications on the antipsychotic profile of some phthalimide and isoindolinone derivs.)
RN 173095-14-2 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopropyl]methyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L19 ANSWER 27 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

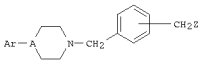
RN 173095-19-7 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopropyl]methyl]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L19 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:854328 HCAPLUS
DN 124:8853
TI Cyclic piperazinylmethyl-substituted benzylamino, benzylamido, and benzylimido antipsychotic agents
IN Maryanoff, Cynthia A.; Reitz, Allen B.; Scott, Malcolm K.
PA McNeilab, Inc., USA
SO U.S., 8 pp. Cont.-in-part of U.S. 5,314,885.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US-----5449677	A	19950912	1993US-000120015	19930910 <--
	US-----5314885	A	19940524	1992US-000943846	19920911 <--
	JP----08501548	T	19960220	1994JP-000508182	19930910 <--
	JP-----3240143	B2	20011217		
	AT------153657	T	19970615	1993AT-000921480	19930910 <--
	AU------679187	B2	19970626	1993AU-000048562	19930910 <--
	AU-----9348562	A	19940412		
	ES-----2104174	T3	19971001	1993ES-000921480	19930910 <--
PRAI	1992US-000943846	A2	19920911	<--	
	1993US-000120015	A	19930910	<--	
	1993WO-US0008545	W	19930910	<--	

OS MARPAT 124:8853
GI



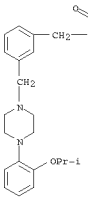
I

AB Compds. of the general formula I wherein A is N, Ar is aryl or substituted aryl; wherein the aryl substituents for the aryl group are selected from any of C1-C8 alkyl, C3-C10 cycloalkyl, C1-C8 hydroxyalkyl, C1-C8 alkoxy, aryloxy, hydroxyl, trifluoromethyl, trifluoromethoxy, cyano, C1-C8 alkylthio, halogen, nitro, C1-C8 haloalkyl, amino or C1-C8 mono- or dialkylamino; Z is isoindolyl or pyrrolidinyl, optionally substituted with a C1-C4 alkyl; there is a 1,2-, 1,3-, or 1,4-relationship of the CH2Z and CH2-piperazine or moieties on the appropriate aromatic ring, are disclosed as novel antipsychotic agents. Pharmaceutical compns. and methods of treating convulsions employing such compds. of formula I are also disclosed. Thus, e.g., N-[2-(1-methylethoxy)phenyl]piperazine was treated with α,α'-dichloro-m-xylene, and the intermediate (piperazinylmethyl)benzyl chloride was subsequently treated with γ-valerolactam and HCl to afford 1-[[3-[[1-[2-(1-methylethoxy)phenyl]-4-piperazinyl]methyl]phenyl]methyl]-piperidin-2-one 1.5HCl which exhibited 89% blockade of conditioned avoidance responding in rats at 5 mpk, po, and dopamine D2 binding with Ki = 117 nM.

IT 155956-83-5P 155956-92-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(cyclic piperazinylmethyl-substituted benzylamino, benzylamido, and benzylimido antipsychotic agents)

RN 155956-83-5 HCAPLUS
CN 2,6-Piperidinedione, 1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]- (CA INDEX NAME)

L19 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

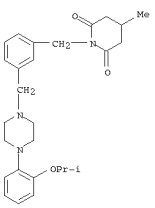


CM 2

CRN 110-16-7
CMF C4 H4 O4

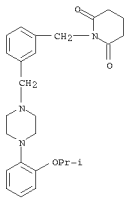
Double bond geometry as shown.

RN 155956-91-5 HCAPLUS
CN 2,6-Piperidinedione, 4-methyl-1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

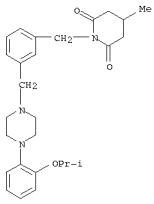


●2 HCl

L19 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 155956-92-6 HCAPLUS
CN 2,6-Piperidinedione, 4-methyl-1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]- (CA INDEX NAME)



IT 155956-84-6P 155956-91-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclic piperazinylmethyl-substituted benzylamino, benzylamido, and benzylimido antipsychotic agents)

RN 155956-84-6 HCAPLUS
CN 2,6-Piperidinedione, 1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 155956-83-5
CMF C26 H33 N3 O3

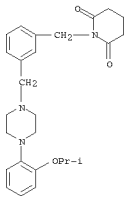
L19 ANSWER 29 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:826855 HCAPLUS
DN 123:275191
TI N-Aryl-N'-Benzylpiperazines as Potential Antipsychotic Agents
AU Reitz, Allen B.; Baxer, Ellen W.; Bennett, Debra J.; Codd, Ellen E.; Jordan, Alfonzo D.; Malloy, Elizabeth A.; Maryanoff, Bruce E.; McDonnell, Mark E.; Ortegon, Marta E.; et al.
CS Drug Discovery, R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
SO Journal of Medicinal Chemistry (1995), 38(21), 4211-22
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB N1-(2-Alkoxyphenyl)piperazines addnl. containing an N4-benzyl group bearing alc., amide, imide, or hydantoin functionalities were prepared and evaluated in the conditioned avoidance response (CAR) test predictive of clin. antipsychotic activity and in in vitro receptor-binding assays. Certain of the compds. display high affinity for the D2, 5-HT1A, and α1-adrenergic receptors. Structures bearing acyclic amide, lactam, and imide functionalities display good biol. activity, with a preference for the 1,3-disubstituted Ph ring relative to the 1,4- and 1,2-congeners. Every possible position of hydantoin attachment was investigated (e.g., substitution at N1, N3, and C4). The hydantoin involving attachment to N1 was found to have good biol. activity, whereas those hydantoins with attachment to N3 or C5 were inactive. Several of the smaller acetylated derivs. have fair in vivo activity, which was lost in the case of a larger benzoyl analog. A uracil congener had modest affinity for the D2 receptor (65 nM) as well as excellent in vivo activity. Benzylamino compds. display moderate CAR activity but have surprising receptor affinity, often greater than those of comparable structures bearing a carbonyl. Benzyl and benzhydryl alc. compds. are more active than amino structures and also exhibit excellent in vivo activity in the CAR test with modest D2 and 5-HT1A receptor binding, with activity being restricted to the 1,3- and 1,4-disubstitution pattern.

IT 155956-84-6P 155956-91-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and potential antipsychotic activity of arylbenzylpiperazines)

RN 155956-84-6 HCAPLUS
CN 2,6-Piperidinedione, 1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 155956-83-5
CMF C26 H33 N3 O3



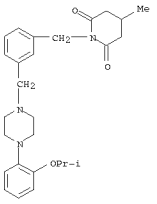
CM 2

CRN 110-16-7

L19 ANSWER 29 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.

RN 155956-91-5 HCAPLUS
CN 2,6-Piperidinedione, 4-methyl-1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

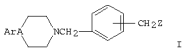


●2 HCl

L19 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:457532 HCAPLUS
DN 121:57532
TI Preparation of cyclic benzylamino, benzylamido and benzylimido derivatives as antipsychotic agents
IN Maryanoff, Cynthia A.; Reitz, Allen B.; Scott, Malcolm K.; Villani, Frank J., Jr.
PA McNeilab, Inc., USA
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-----9406768	A1	19940331	1993WO-US0008545	19930910 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US-----5314885	A	19940524	1992US-000943846	19920911 <--
EP-----660822	A1	19950705	1993EP-000921480	19930910 <--
EP------660822	B1	19970528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP----08501548	T	19960220	1994JP-000508182	19930910 <--
JP-----3240143	B2	20011217		
AT------153657	T	19970615	1993AT-000921480	19930910 <--
AU------679187	B2	19970626	1993AU-000048562	19930910 <--
AU-----9348562	A	19940412		
ES-----2104174	T3	19971001	1993ES-000921480	19930910 <--
CA-----2144344	C	20041109	1993CA-002144344	19930910 <--
PRAI 1992US-000943846	A	19920911	<--	
1993US-000120015	A	19930910	<--	
1993WO-US0008545	W	19930910	<--	

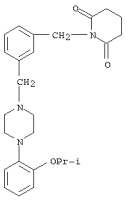
OS MARPAT 121:57532
GI



I

AB Title compds. I (Ar = (substituted) aryl; A = N, HC; Z = 5-6-membered saturated, (un)substituted ring containing 1 ring N which is the point of ring attachment to the mol., the ring contg 0-2 carbonyls adjacent to the N, the ring optionally attached to a 4-membered moiety to form a 6-membered fused aromatic or the ring optionally being attached to a 4-membered moiety to form a 5-membered spirocycle; there is a 1,2-, 1-3-, or 1,4-relationship of CH2Z and CH2-piperazine or CH2-piperidine moieties on the appropriate aromatic) are prepared N-[2-(1-methylethoxy)phenyl]piperazine was treated with α,α'-dichloro-m-xylene, and refluxed to give the appropriate benzyl chloride which was treated with γ-valerolactam to give after workup I (Ar = 2-(Me2CHO)C6H4, A = N, Z = 2-oxopiperidino with the CH2 attached on 3-position of the Ph ring). The antipsychotic activity was determined by the Block of Conditioned Avoidance Responding (Rat) test. I are claimed for use in treatment of schizophrenia.
IT 155956-83-5P 155956-84-6P 155956-91-5P
155956-92-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)
RN 155956-83-5 HCAPLUS
CN 2,6-Piperidinedione, 1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]- (CA INDEX NAME)

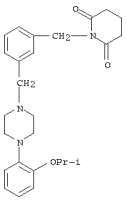
L19 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 155956-84-6 HCAPLUS
CN 2,6-Piperidinedione, 1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 155956-83-5
CMF C26 H33 N3 O3



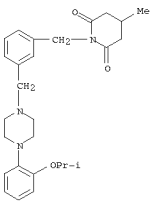
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

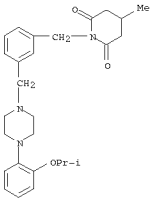
RN 155956-91-5 HCAPLUS
CN 2,6-Piperidinedione, 4-methyl-1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L19 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

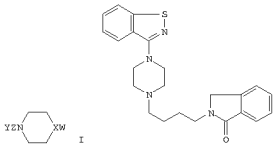
RN 155956-92-6 HCAPLUS
CN 2,6-Piperidinedione, 4-methyl-1-[[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl]methyl]- (CA INDEX NAME)



L19 ANSWER 31 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:409406 HCAPLUS
DN 121:9406
TI Piperazine and piperidine derivatives, and their use as antipsychotics
IN Norman, Mark Henry; Navas, Frank, III
PA Wellcome Foundation Ltd., UK
SO PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO-----9316073	A1	19930819	1993WO-GB0000285	19930211 <--
	W: AU, BG, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RO, RU, SK, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU-----9334603	A	19930903	1993AU-00003460	19930211 <--
	ZA-----9300958	A	19940811	1993ZA-00000958	19930211 <--
	EP-----625978	A1	19941130	1993EP-000903266	19930211 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP----07503723	T	19950420	1993JP-000513907	19930211 <--
	HU-----72309	A2	19960429	1994HU-000002343	19930211 <--
	FI-----9403718	A	19940811	1994FI-000003718	19940811 <--
	NO-----9402977	A	19941010	1994NO-000002977	19940811 <--
PRAI	1992GB-000002915	A	19920212	<--	
	1993WO-GB0000285	A	19930211	<--	

OS MARPAT 121:9406
GI



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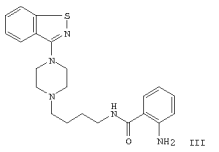


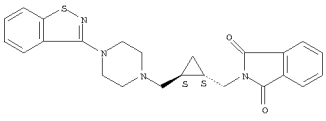
II

III

AB The title compds., 1-[(isoindolyl)alkyl]piperidine or 1-[(phenylcarbamoyl)alkyl]piperidine or 1-[(isoindolyl)alkyl]piperazine or 1-[(phenylcarbamoyl)alkyl]piperazine derivs. I (Y = substituted isoindolyl or phenylcarbamoyl; Z = alkanediyl, etc.; X = nitrogen, carbon; W = substituted isoindolyl or benzopyrazolyl) and their uses as antipsychotics are claimed. I are useful as anxiolytics, muscle relaxants, antidepressants, antiemetics, and treatment of aggression associated with senile dementia and treatment of personality disorders and schizophrenia. I are dosamine D2 antagonists and HT2 receptor antagonists. Specifically claimed compds. include 2-[4-[4-(1,2-benzisothiazol-3-yl)-1-

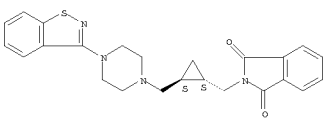
L19 ANSWER 31 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
piperazinyl]butyl]-1-isoindolinone (II) an d2-amino-N-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]butyl]benzamide (III).
IT 173095-14-2P 173095-19-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as dopamine D2 antagonist)
RN 173095-14-2 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopropyl]methyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



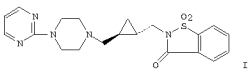
RN 173095-19-7 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopropyl]methyl]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

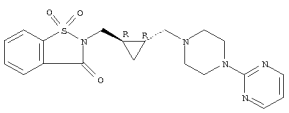
L19 ANSWER 32 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:234002 HCAPLUS
DN 118:234002
TI Synthesis of metabolically stable arylpiperazine 5-HT1A receptor agonists
AU Romero, Arthur G.; Darlington, William H.; Piercey, Montford F.; Lahti, Robert A.
CS Upjohn Co., Kalamazoo, MI, 49001, USA
SO Bioorganic & Medicinal Chemistry Letters (1992), 2(12), 1703-6
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
OS CASREACT 118:234002
GI



I

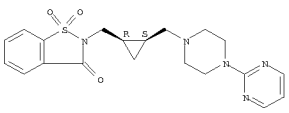
AB Although N-alkylarylpiperazines as a class are finding use as anxiolytics and antidepressants, many of these arylpiperazines are highly metabolically labile at the n-alkylpiperazine bond. Cyclopropanating (giving e.g., I) the Bu chain contained in the 5-HT1A receptor agonist ipsapirone instills a resistance to this metabolism as well as providing information about the geometrical requirements of the 5-HT1A receptor.
IT 147527-74-0P 147527-79-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and metabolic stability of)
RN 147527-74-0 HCAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-[[2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]cyclopropyl]methyl]-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 147527-79-5 HCAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-[[2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]cyclopropyl]methyl]-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

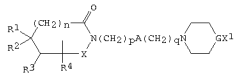
Relative stereochemistry.



L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:151794 HCAPLUS
DN 116:151794
TI Preparation of [[[(carboximidomethyl)cycloalkyl]methyl]azinyl]arenes as antipsychotics
IN Saji, Ikutaro; Muto, Masayuki; Tanno, Norihiko; Yoshigi, Mayumi
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO Eur. Pat. Appl., 67 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP------464846	A1	19920108	1991EP-000111223	19910705 <--
	EP------464846	B1	19980422		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP----05017440	A	19930126	1991JP-000183640	19910627 <--
	JP-----2800953	B2	19980921		
	CA-----2046429	A1	19920107	1991CA-002046429	19910705 <--
	CA-----2046429	C	20030916		
	AT------165359	T	19980515	1991AT-000111223	19910705 <--
	ES-----2115599	T3	19980701	1991ES-000111223	19910705 <--
	US-----5532372	A	19960702	1993US-000113320	19930830 <--
	US-----5780632	A	19980714	1996US-000634738	19960418 <--
PRAI	1990JP-000180271	A	19900706	<--	
	1991US-000726172	B1	19910705	<--	
	1993US-000113320	A3	19930830	<--	

OS CASREACT 116:151794; MARPAT 116:151794
GI



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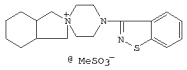
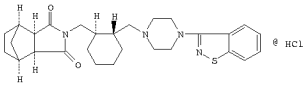


II



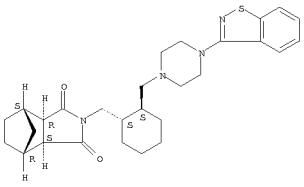
III

AB Title compds. [I; R1-R4 = H, alkyl; R1R2 = nonarom. hydrocarbylene; R1R3 = (aromatic) (substituted) (bridged) hydrocarbylene; X = CO, SO2; n = 0, 1; A = (substituted) (bridged) nonarom. hydrocarbon ring; p, q = 0-2; X1 = (hetero)aryl, PhCO, PhO, PhS, and G = N, CH, COH; or X1 = biphenylmethylidene, G = C] were prepared Thus, spiro derivative II (preparation from trans-1,2-cyclohexanecarboxylic anhydride given) was refluxed with bicyclo[2.2.1]heptane-2-exo-3-exo-dicarboximide, K2CO3, and dibenzo-18-crown-6 in PhMe to give title compound III. III showed ED50 of 10.3 mg/kg orally for suppression of apomorphine-induced climbing behavior in mice.
IT 139505-45-6P 139505-47-8P 139505-48-9P
139505-51-4P 139505-53-6P 139505-54-7P
139505-55-8P 139505-56-9P 139505-57-0P
139505-58-1P 139505-59-2P 139505-60-5P
139505-61-6P 139505-66-1P 139505-84-3P
139505-85-4P 139505-86-5P 139505-87-6P

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
139505-93-4P 139505-95-6P 139506-02-8P
139506-03-9P 139537-71-6P 139537-72-7P
139537-73-8P 139563-18-1P 139563-20-5P
139563-21-6P 139563-22-7P 139563-24-9P
139563-25-0P 139563-27-2P 139563-28-3P
139563-29-4P 139627-40-0P 139627-41-1P
194861-60-4P 194861-63-7P 194861-73-9P
194861-74-0P 194861-80-8P 194861-81-9P
194861-82-0P 194862-49-2P 194863-57-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antipsychotic)

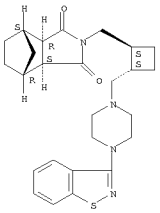
RN 139505-45-6 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



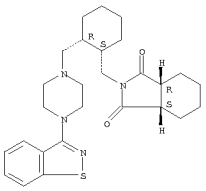
RN 139505-47-8 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclobutyl]methyl]hexahydro-, [2(trans),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



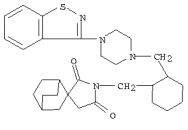
RN 139505-48-9 HCAPLUS
CN 4,7-Methano-1,2-benzisothiazol-3(2H)-one, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, 1,1-dioxide (CA INDEX NAME)

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



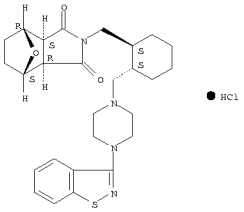
● HCl

RN 139505-54-7 HCAPLUS
CN Spiro[bicyclo[2.2.2]octane-2,3'-pyrrolidine]-2',5'-dione, 1'-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]- (CA INDEX NAME)



RN 139505-55-8 HCAPLUS
CN 4,7-Epoxy-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, [2(1R*,2R*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

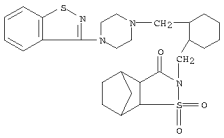
Relative stereochemistry.



● HCl

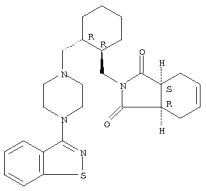
RN 139505-56-9 HCAPLUS
CN 2,6-Piperidinedione, 1-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-4,4-dimethyl-, trans- (9CI) (CA INDEX NAME)

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 139505-51-4 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-3a,4,7,7a-tetrahydro-, monohydrochloride, [2(1R*,2R*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



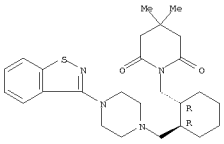
● HCl

RN 139505-53-6 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, [2(1R*,2S*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

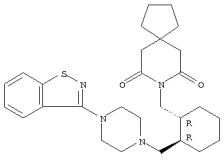
L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Relative stereochemistry.



RN 139505-57-0 HCAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

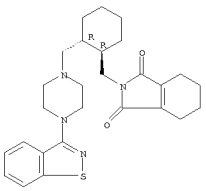
Relative stereochemistry.



● HCl

RN 139505-58-1 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-4,5,6,7-tetrahydro-, trans- (9CI) (CA INDEX NAME)

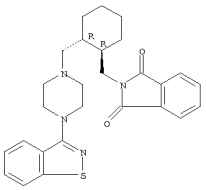
Relative stereochemistry.



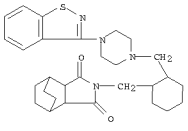
RN 139505-59-2 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

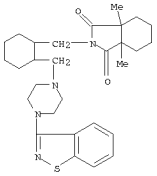
L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 139505-60-5 HCAPLUS
CN 4,7-Ethano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro- (CA INDEX NAME)



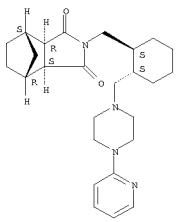
RN 139505-61-6 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-3a,7a-dimethyl-, [2(trans),3aα,7aα]- (9CI) (CA INDEX NAME)



RN 139505-66-1 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, hexahydro-2-[[2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]cyclohexyl]methyl]-, [2(trans),3aα,4β,7.beta.,7aα]- (9CI) (CA INDEX NAME)

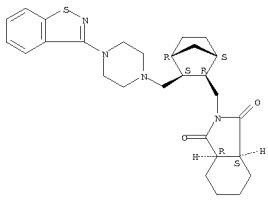
Relative stereochemistry.

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

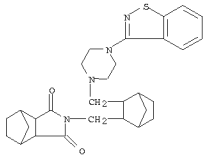


RN 139505-84-3 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[3-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]bicyclo[2.2.1]hept-2-yl]methyl]hexahydro-, [1α,2α(3aR*,7aS*),3α,4α]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



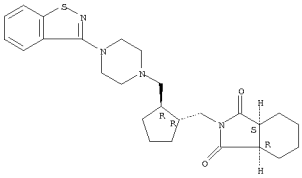
RN 139505-85-4 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[3-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]bicyclo[2.2.1]hept-2-yl]methyl]hexahydro-, [2(1R*,2S*,3R*,4S*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)



L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

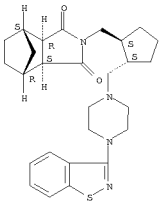
RN 139505-86-5 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopentyl]methyl]hexahydro-, [2(trans),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 139505-87-6 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopentyl]methyl]hexahydro-, [2(trans),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

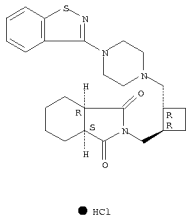
Relative stereochemistry.



RN 139505-93-4 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclobutyl]methyl]hexahydro-, monohydrochloride, [2(1R*,2R*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

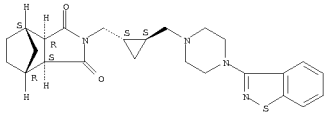
L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 139505-95-6 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopropyl]methyl]hexahydro-, monohydrochloride, [2(1R*,2R*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

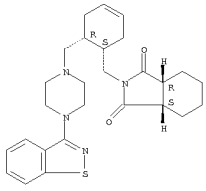
Relative stereochemistry.



● HCl

RN 139506-02-8 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[6-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]-3-cyclohexen-1-yl]methyl]hexahydro-, [2(cis),3aα,7aα]- (9CI) (CA INDEX NAME)

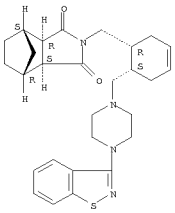
Relative stereochemistry.



RN 139506-03-9 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[6-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]-3-cyclohexen-1-yl]methyl]hexahydro-, [2(cis),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

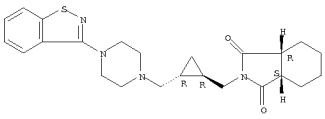
L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Relative stereochemistry.



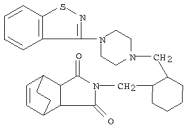
RN 139537-71-6 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopropyl]methyl]hexahydro-, monohydrochloride, [2(1R*,2R*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



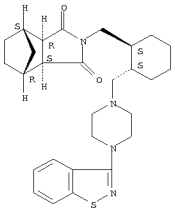
● HCl

RN 139537-72-7 HCAPLUS
CN 4,7-Ethano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-3a,4,7,7a-tetrahydro-, [2(trans),3aα,4α,7α,7aα]- (9CI) (CA INDEX NAME)



RN 139537-73-8 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, hexahydro-2-[[2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]cyclohexyl]methyl]-, [2(trans),3aα,7aα]- (9CI) (CA INDEX NAME)

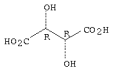
L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



CM 2

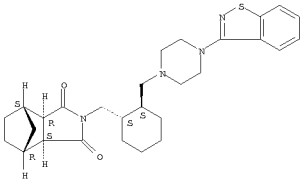
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 139563-21-6 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, [2(trans),3aα,4β,7β,7aα]-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

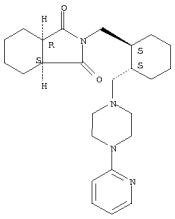


● HCl

RN 139563-22-7 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclobutyl]methyl]hexahydro-, monohydrochloride, [2(trans),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

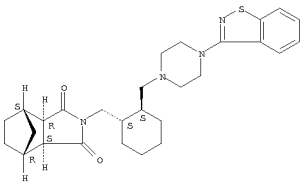
Relative stereochemistry.

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Relative stereochemistry.



RN 139563-18-1 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, [2(trans),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

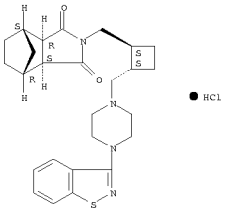
RN 139563-20-5 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, [2(trans),3aα,4β,7β,7aα]-(+)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139563-19-2
CMF C28 H36 N4 O2 S

Rotation (+). Absolute stereochemistry unknown.

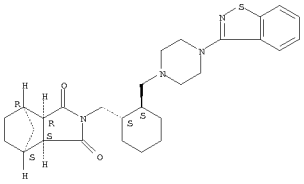
L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 139563-24-9 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, [2(1R*,2R*),3aα,4α,7α,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

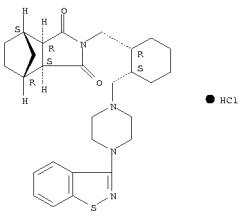


● HCl

RN 139563-25-0 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, [2(1R*,2S*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

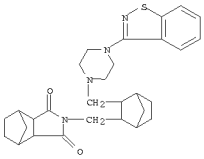
Relative stereochemistry.

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



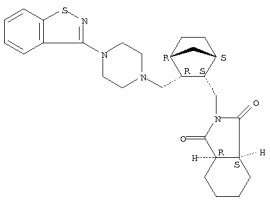
● HCl

RN 139563-27-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[3-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]bicyclo[2.2.1]hept-2-yl]methyl]hexahydro-, [2(1R*,2R*,3S*,4S*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

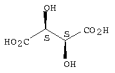


RN 139563-28-3 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[3-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]bicyclo[2.2.1]hept-2-yl]methyl]hexahydro-, [1α,2β(3aR*,7aS*),3β,4α]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

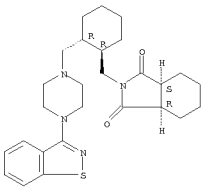


L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



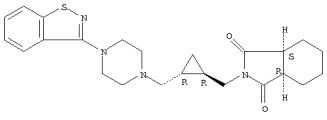
RN 139627-41-1 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, [2(trans),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 194861-60-4 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclopropyl]methyl]hexahydro-, [2(1R*,2R*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

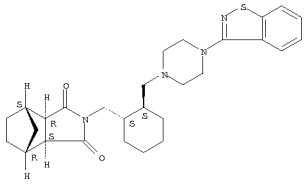


RN 194861-63-7 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, [2(1R*,2S*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 139563-29-4 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, [2(trans),3aα,4β,7β,7aα]-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



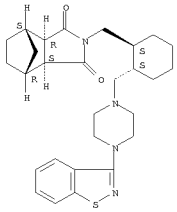
● HCl

RN 139627-40-0 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(2R,3R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)-rel-(-)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139627-39-7
CMF C28 H36 N4 O2 S

Rotation (-). Absolute stereochemistry unknown.

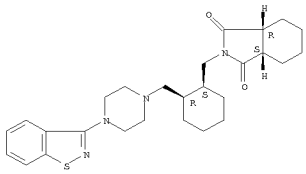


CM 2

CRN 147-71-7
CMF C4 H6 O6

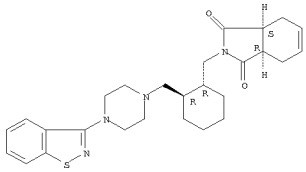
Absolute stereochemistry.

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



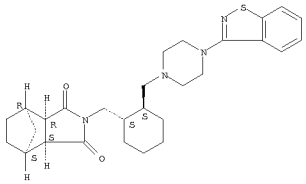
RN 194861-73-9 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-3a,4,7,7a-tetrahydro-, [2(1R*,2R*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 194861-74-0 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, [2(1R*,2R*),3aα,4α,7a,7aα]- (9CI) (CA INDEX NAME)

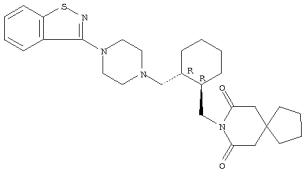
Relative stereochemistry.



RN 194861-80-8 HCAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]-, trans- (9CI) (CA INDEX NAME)

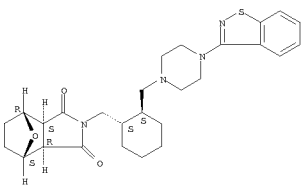
Relative stereochemistry.

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



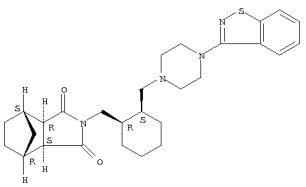
RN 194861-81-9 HCAPLUS
CN 4,7-Epoxy-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, [2(1R*,2R*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 194861-82-0 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, [2(1R*,2S*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

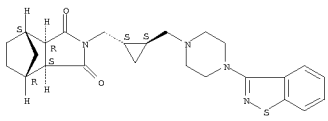


RN 194862-49-2 HCAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-

L19 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

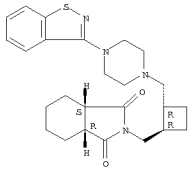
yl)-1-piperazinyl]methyl]cyclopropyl]methyl]hexahydro-, [2(1R*,2R*),3aα,4β,7β,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 194863-57-5 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclobutyl]methyl]hexahydro-, [2(1R*,2R*),3aα,7aα]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L19 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 1985:195055 HCAPLUS
DN 102:195055
OREF 102:30440h,30441a
TI Light-sensitive photographic material containing immobile linked-donor-acceptor compounds
IN Komaya, Koichi; Noguchi, Yasuhiro; Toriuchi, Masaharu
PA Fuji Photo Film Co., Ltd. , Japan
SO Ger. Offen., 85 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE-----3413096	A1	19841011	1984DE-003413096	19840406 <--
	JP----59185333	A	19841020	1983JP-000060289	19830406 <--
	JP----02034374	B	19900802		
	GB-----2140927	A	19841205	1984GB-000008910	19840406 <--
	GB-----2140927	B	19860903		
	US-----4551423	A	19851105	1984US-000597623	19840406 <--
PRAI	1983JP-000060289	A	19830406 <--		
OS	MARPAT 102:195055				
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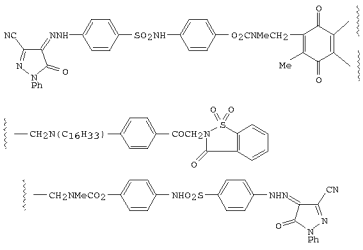

I

AB Immobile linked-donor-acceptor compds., which release a diffusible dye or its precursor by a redox reaction, are described for use in preparing pos. diffusion-transfer materials. Thus, a photosensitive material was prepared by coating a poly(ethylene terephthalate) support with an image acceptor layer, a white reflection layer, a light screening layer, a gelatin-I layer (5.0 + 10-4 mol/m2), a gelatin-Ag(Br,I) emulsion layer, and a gelatin protective layer. This material was then exposed, combined with a polymer-coated top sheet and processed to give a yellow image with a Dmax of 1.84 and a Dmin of 0.24 vs. 1.6 and 0.23, resp., for a control containing an electron donor precursor and a color forming material.

IT 96144-90-0
RL: USES (Uses)
(photog. immobile linked-donor-acceptor compound, for color materials)

RN 96144-90-0 HCAPLUS
CN Carbamic acid, [[5-[4-[4-[4-[(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)acetyl]benzoyl]-1-piperazinyl]-4-oxobutyl]-2-dodecyl-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis(methylene)]bis[methyl-, bis[4-[[[4-[(3-cyano-4,5-dihydro-5-oxo-1-phenyl-1H-pyrazol-4-yl)azo]phenyl]sulfonyl]amino]phenyl] ester (9CI) (CA INDEX NAME)

L19 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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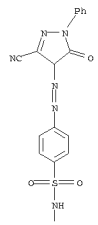

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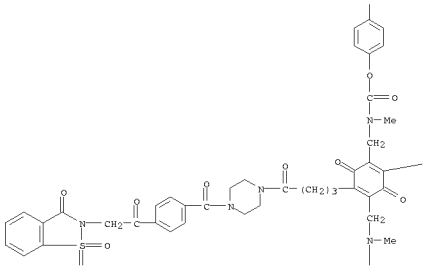

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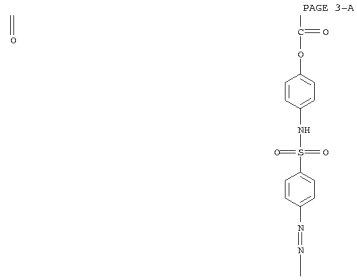
L19 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 3-A



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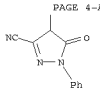

L19 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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——NH—(CH2)11—Me

—(CH2)11—Me

L19 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 1981:433393 HCAPLUS

DN 95:33393

OREF 95:5617a,5620a

TI Electron donor precursors and photographic element containing them

IN Chen, Chin H.

PA Eastman Kodak Co. , USA

SO U.S., 25 pp.
CODEN: USXXAM

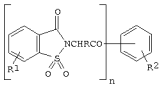
DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US-----4263393	A	19810421	1979US-000072871	19790906 <--
CA-----1138246	A1	19821228	1980CA-000348060	19800320 <--
PRAI 1979US-000072871	A	19790906 <--		

GI



I

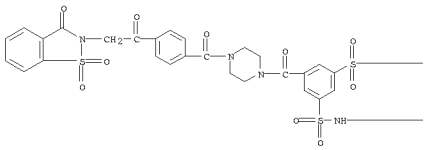
AB A new electron donor precursor for diffusion-transfer photog. stable under keeping conditions and under processing conditions rapidly unblocking an electron donor comprises N-phenacyl saccharin derivative (I: R = H, C1-30 alkyl, C6-30 aryl, acyl, ester, amido; R1,R2 = H, halogen, NO2, NH2, CN, C1-30 alkyl or alkoxy, C6-30 aryl or aryloxy, acyl, ester, amido, if R2 is sulfonamido, carbonamido or phosphoramido it is in the ortho or meta position; n = 1,2). Thus, a photog. element having incorporated a magenta dye-providing compound and I (R,R1 = H, R2 = 4-phenyl) was fixed for 1 min in solution containing (NH4)2S2O3 120, K2S2O5 20 g and H2O to 1 L, washed, dried, laminated to a receiver containing a mordant for the diffusible dye moiety and after a viscous activator solution was spread between the elements they were separated The rate of dye release t1/2 (determined from a plot of the transferred dye d. vs. time of lamination) equaled 150 s vs. 300 s for a control containing a ballasted benzisoxazdone as the electron donor precursor.

IT 74918-81-3
RL: USES (Uses)
(as electron donor precursor for diffusion-transfer photog.)

RN 74918-81-3 HCAPLUS

CN Piperazine, 1-[3,5-bis[(dodecylamino)sulfonyl]benzoyl]-4-[4-[(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)acetyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



L19 ANSWER 36 OF 36 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 1980:540886 HCAPLUS

DN 93:140886

OREF 93:22287a,22290a

TI Electron donor precursors

AU Anon.

CS UK

SO Research Disclosure (1980), 195, 285-8 (No. 19507)
CODEN: RSDSBB; ISSN: 0374-4353

DT Journal; Patent

LA English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI RD-------195007		19800710		
PRAI 1980RD-000195007		19800710		

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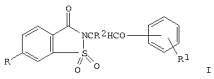


I

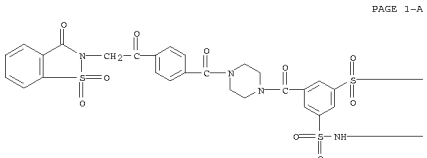
AB N-Phenacylsaccharin derivative electron donor precursors, which are incorporated in the alkaline processing composition and provide a rapid release of the diffusible photog. moiety in diffusion transfer films, have the general formula (I: R = H, acyl, ester, amido; R1 = H, halogen, NO2, C1-30 alkyl, Ph, acyl, ester, amido; and R2 = H, C1-4 alkyl). One such compound is I (R = H; R1 = p-NO2; and R2 = H).

IT 74918-81-3
RL: USES (Uses)
(as electron donor precursor for diffusion-transfer photog. processing solution, for rapid release of diffusible moiety)

RN 74918-81-3 HCAPLUS

CN Piperazine, 1-[3,5-bis[(dodecylamino)sulfonyl]benzoyl]-4-[4-[(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)acetyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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——NH—(CH2)11—Me

—(CH2)11—Me

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